

14930

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Jeffrey E Russell Examiner #: 62785 Date: 1/12/2002
Art Unit: 1654 Phone Number 30 8-2975 Serial Number: 05709822
Mail Box and Bldg/Room Location: _____ Results Format Preferred (circle): PAPER DISK E-MAIL
CM1-11D13/CM1-9607

If more than one search is submitted, please prioritize searches in order of need.

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

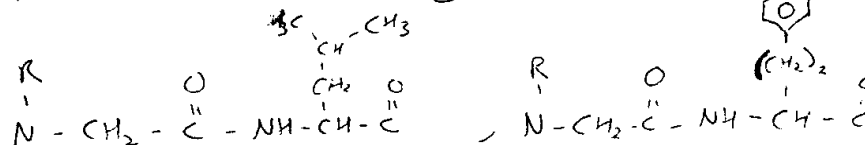
Title of Invention: peptide-drugable targeted antineoplastic drugs and their therapeutic use

Inventors (please provide full names): R. Capeland, C. Albright, A. Combs, R. Dowling, N. Gracian,
W. Han, C. Hyley, P. Huang, E. Yue, S. D. Neo

Earliest Priority Filing Date: 3-15-2001

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the following partial structures:



where R is H or CH₃.

Please use the keywords MMP, metalloproteinase, matrixin, stromelysin, gelatinase, conjugat?, linker, linking agent to narrow down any hits.

Thank you.

JTR

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: <u>S. Upgaur</u>	NA Sequence (#) _____	STN _____
Searcher Phone #: <u>308-4499</u>	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed: <u>11/15/02</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

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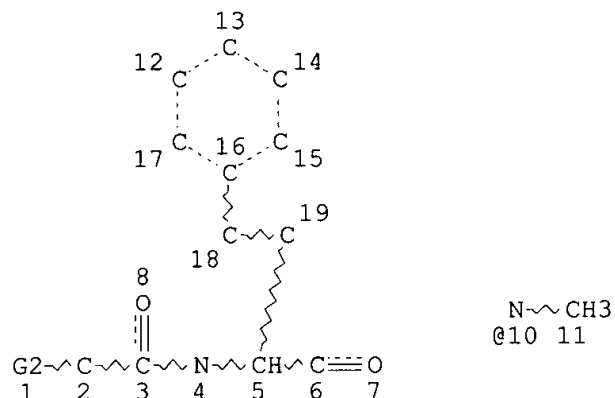
FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
 FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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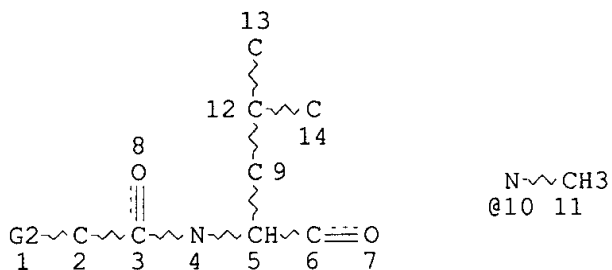
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VAR G2=NH/10
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 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
 L2 1029 SEA FILE=REGISTRY SSS FUL L1
 L3 STR



VAR G2=NH/10

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

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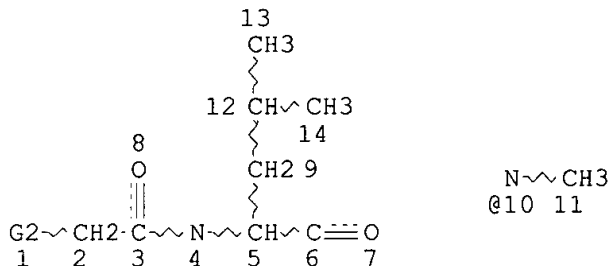
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STEREO ATTRIBUTES: NONE

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R 2052 OR 2051 OR 2043

L5 240577 SEA FILE=REGISTRY SSS FUL L3 NOT L4

L6 STR



VAR G2=NH/10

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L7 29855 SEA FILE=REGISTRY SUB=L5 SSS FUL L6

L8 1619 SEA FILE=REGISTRY ABB=ON PLU=ON MMP OR METALLOPROTEIN? OR
MATRIXIN OR STROMELY? OR GELATINASE OR METALLOPROTEASE?

L9 30357 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

L10 290 SEA FILE=HCAPLUS ABB=ON PLU=ON L2

L11 179694 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR MMP OR METALLOPROTEIN?
OR MATRIXIN OR STROMELY? OR GELATINASE OR METALLOPROTEASE?

L12 68 SEA FILE=HCAPLUS ABB=ON PLU=ON L11(L) (L9 OR L10)

L13 5 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND (?CONJUG? OR ?LINK?)

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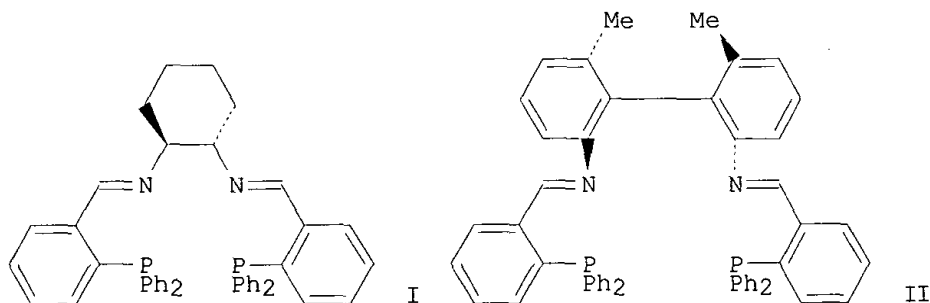
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L13 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:123042 HCAPLUS
DOCUMENT NUMBER: 136:180121
TITLE: Pseudo-metalloproteins, their preparation and use in biosensors
INVENTOR(S): Lombardi, Angelina; Pavone, Vincenzo
PATENT ASSIGNEE(S): Universita' Degli Studi di Napoli "Federico II", Italy
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012278	A2	20020214	WO 2001-IB1427	20010809
WO 2002012278	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001076606	A5	20020218	AU 2001-76606	20010809
PRIORITY APPLN. INFO.:			IT 2000-RM454	A 20000810
			WO 2001-IB1427	W 20010809
OTHER SOURCE(S):		MARPAT 136:180121		
GI				



AB Described herein are Pseudo-metalloproteins (M = metal selected among Fe, Mn, Ti, Mo, Co, Ni, Cu, Pd, Pt, Au, Ru, Cr, V, Tb, Yb, Rh, Ir, Os; X1 = antigen, or else a functional group that enables assocn. to a biomol.; X2 = functional group that enables assocn. to an electrode; S1 and S2 = spacer groups made up of a chain of 3-12 atoms of C, N, O, S and corresponding mixts.; all the other substituents have an amino acid nature), their prepn., and electrochem. biosensors contg. them. The biosensors can be used in various assays such as diagnostic assays, immunodiagnostic assays, detn. of pollutants in water, etc. A peptide-metal complex, contg. Fe³⁺ as M; substance P sequence as X1; Cys as X2 and C1-4; Gly-Gly as S1 and S2, was prepd. The peptides were

synthesized on an automatic peptide synthesizer and then complexed with Fe(SO₄)₂(NH₄)₂.

IT 33507-63-0, Substance P

RL: PRP (Properties)
(peptide-based metal complex contg.; pseudo-metalloproteins,
prepn. and use in biosensors)

IT 396719-12-3P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pseudo-metalloproteins, prepn. and use in biosensors)

IT 396719-12-3DP, complexes with iron and peptides

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Préparation)

(pseudo-metalloproteins, prepn. and use in biosensors)

IT 398141-84-9

RL: PRP (Properties)

(unclaimed sequence; pseudo-metalloproteins, their prepn. and
use in biosensors)

L13 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:83106 HCAPLUS

DOCUMENT NUMBER: 132:117544

TITLE: Fibrin(ogen) degradation and clot lysis by
medical-related apparatus treated with fibrinolytic
matrix metalloproteinase

INVENTOR(S): Bini, Alessandra

PATENT ASSIGNEE(S): New York Blood Center, Inc., USA

SOURCE: U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 765,815.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020181	A	20000201	US 1997-859738	19970521
US 5830468	A	19981103	US 1995-446887	19950517
US 5922322	A	19990713	US 1997-765815	19970117
WO 9852601	A1	19981126	WO 1998-US10364	19980520
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9876904	A1	19981211	AU 1998-76904	19980520

PRIORITY APPLN. INFO.:

US 1995-446887	19950517
US 1997-765815	19970117
US 1997-859738	19970521
WO 1998-US10364	19980520

AB A method is provided for causing the degrdn. of fibrin(ogen) (i.e., fibrin, fibrinogen, and related substances) by means of a fibrinolytic metalloproteinase, preferably an endogenous metalloproteinase such as MMP-3 or MMP-7. The method can be performed in vitro to provide diagnostic information characterizing fibrin(ogen) and fibrinolytic physiol. The method can also be performed in vivo as a method of thrombolytic therapy in which a fibrinolytic metalloproteinase is administered to a subject to degrade thrombus in situ. The endogenous fibrinolytic metalloproteinase can be administered in conjunction with other active agents, preferably with agents having thrombolytic activity, to improve thrombolytic and fibrinolytic therapy. The invention further

provides compns. contg. a fibrinolytic metalloproteinase for the performance of fibrinolytic or thrombolytic procedures. Also provided are kits which include a fibrinolytic metalloproteinase for performing fibrinolytic or thrombolytic procedures. The invention also provides medical goods (blood collection tubes, pipets, needles, catheters, valves, etc.) having thrombus-inhibiting properties.

IT 255864-92-7

RL: PRP (Properties)

(unclaimed sequence; fibrin(ogen) degrdn. and clot lysis by medical-related app. treated with fibrinolytic matrix metalloproteinase)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:728567 HCAPLUS

DOCUMENT NUMBER: 130:10614

TITLE: Ricin precursors cleavable by disease-specific proteinases for treatment of cancer, viral or parasitic infections

INVENTOR(S): Borgford, Thor

PATENT ASSIGNEE(S): De Novo Enzyme Corp., Can.

SOURCE: PCT Int. Appl., 352 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849311	A2	19981105	WO 1998-CA394	19980430
WO 9849311	A3	19990211		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9870237	A1	19981124	AU 1998-70237	19980430
EP 977862	A2	20000209	EP 1998-916743	19980430
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2001523961	T2	20011127	JP 1998-546437	19980430
PRIORITY APPLN. INFO.:			US 1997-45148P	P 19970430
			US 1997-63715P	P 19971029
			WO 1998-CA394	W 19980430

AB Ricin precursors with the ricin A and B chains **linked** by a protease-labile **linker** peptide are described for use in the treatment of disease. The **linker** peptide contains a cleavage site for a disease specific protease such as a cancer, fungal, viral or parasitic protease. The ricin A chain may be replaced by comparable cytotoxic proteins such as the abrin A chain. The protein is delivered to the target tissue using viral vectors carrying an expression cassette for the ricin fusion protein gene. Construction of a series of variants of preproricin cleavable by a no. of different proteinases is described. Cleavage and activation of these variants with the expected patterns of cleavage of rRNA is demonstrated.

IT 215649-56-2

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(matrix **metalloproteinase** 2-labile **linker** for ricin precursor; ricin precursors cleavable by disease-specific proteinases for treatment of cancer, viral or parasitic infections)

IT 215649-29-9
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (matrix **metalloproteinase** 3-labile **linker** for ricin precursor; ricin precursors cleavable by disease-specific proteinases for treatment of cancer, viral or parasitic infections)

IT 215649-62-0
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (matrix **metalloproteinase**-labile **linker** for ricin precursor; ricin precursors cleavable by disease-specific proteinases for treatment of cancer, viral or parasitic infections)

IT 215649-63-1
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (**stromelysin** 3-labile **linker** for ricin precursor; ricin precursors cleavable by disease-specific proteinases for treatment of cancer, viral or parasitic infections)

L13 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1998:648925 HCAPLUS
 DOCUMENT NUMBER: 130:2635
 TITLE: Neuropeptides induce Mr 92,000 type IV collagenase (matrix metalloproteinase-9) activity in human prostate cancer cell lines
 AUTHOR(S): Sehgal, Inder; Thompson, Timothy C.
 CORPORATE SOURCE: Scott Department of Urology, Baylor College of Medicine, Houston, TX, 77030, USA
 SOURCE: Cancer Research (1998), 58(19), 4288-4291
 CODEN: CNREA8; ISSN: 0008-5472
 PUBLISHER: American Association for Cancer Research
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The type IV collagenases matrix metalloproteinase (MMP)-2 and MMP-9 are **linked** with a wide array of biol. activities, including tumor invasion, metastasis, and angiogenesis. Here, the authors report that neuropeptide hormones, which are present in prostatic adenocarcinomas, can stimulate secreted activity of MMP-9 in human prostate cancer cell lines. Northern blotting analyses demonstrated that neuropeptide stimulation lead to elevated mRNA levels of MMP-9 but not MMP-2. Further assays of MMP-9 promoter activation and a nuclear run-off indicated that neuropeptide induction of MMP-9 expression occurs at the level of transcription. These data indicate that neuropeptides can regulate MMP activity, which, in turn, could facilitate prostate cancer progression.

IT 33507-63-0, Substance P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (neuropeptides induce matrix **metalloproteinase**-9 activity in human prostate cancer cell lines)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1991:221378 HCAPLUS
 DOCUMENT NUMBER: 114:221378
 TITLE: Type IV (pro)collagenase-derived peptides as metalloproteinase inhibitors, antibodies to such peptides, and use of the peptides and antibodies in the treatment and diagnosis of cancer and other diseases

INVENTOR(S): Liotta, Lance A.; Stetler-Stevenson, William;
 Krutzsch, Henry
 PATENT ASSIGNEE(S): National Institutes of Health, USA
 SOURCE: U. S. Pat. Appl., 44 pp. Avail. NTIS Order No.
 PAT-APPL-6-317 407.
 CODEN: XAXXAV
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 317407	A0	19900715	US 1989-317407	19890301
US 5270447	A	19931214		
US 196242	A0	19881015	US 1988-196242	19880520
CA 2050343	AA	19900902	CA 1990-2050343	19900301
WO 9010228	A1	19900907	WO 1990-US1060	19900301

W: AU, CA, JP

RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE

AU 9051840	A1	19900926	AU 1990-51840	19900301
AU 635007	B2	19930311		
EP 462182	A1	19911227	EP 1990-904575	19900301
EP 462182	B1	19960515		

R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE

JP 04501423	T2	19920312	JP 1990-504447	19900301
JP 2736821	B2	19980402		
AT 138076	E	19960615	AT 1990-904575	19900301
ES 2088426	T3	19960816	ES 1990-904575	19900301
JP 09249700	A2	19970922	JP 1996-240917	19900301
JP 2001011093	A2	20010116	JP 2000-132398	19900301
JP 3252283	B2	20020204		
US 5372809	A	19941213	US 1992-830313	19920131
US 5585356	A	19961217	US 1994-289825	19940812

PRIORITY APPLN. INFO.:

US 1988-196242		19880520
US 1988-248420		19880923
US 1989-317407	A	19890301
US 1990-488460	A	19900226
JP 1990-504447	A3	19900301
JP 1996-240917	A3	19900301
WO 1990-US1060	A	19900301
US 1992-830313	A1	19920131

AB The title peptides include those homologous to a region near the amino terminus of type IV procollagenase and a region near the middle of type IV collagenase. The peptide inhibitors can be used in the treatment of tumor growth, invasion, and metastasis, as well as arthritis, granulomatous inflammatory conditions, etc. Thus, peptides were prepd. which corresponded to a series of overlapping regions in the amino-terminal 1-87 residues of type IV procollagenase; only those peptides incorporating a crit. unpaired cysteine-contg. region (conserved in other metalloproteinases) strongly inhibited (at concns. <0.1 mM) purified activated type IV collagenase cleavage of pepsinized type IV collagen. Synthetic peptides corresponding to a series of domains extending from the amino terminus (residues 1-17) to an internal domain (residues 472-490) were used as antigens to generate affinity-purified polyclonal antibodies which recognized their resp. domains on the native type IV procollagenase. The antibodies were used in the immunohistol. diagnosis of gastric and colorectal carcinomas. Activation of type IV procollagenase of A2058 melanoma cells by p-aminophenylmercuric acetate was also studied.

IT 132116-49-5

RL: BIOL (Biological study)

(type IV collagenase segment, peptide analogs of, antibodies to, for metalloproteinase detection)

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DICTIONARY FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2

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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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(33507-63-0/RN)

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(396719-12-3/RN)

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1 255864-92-7/BI

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1 398141-84-9/BI

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L14 9 (33507-63-0/BI OR 396719-12-3/BI OR 132116-49-5/BI OR 215649-29-9/BI OR 215649-56-2/BI OR 215649-62-0/BI OR 215649-63-1/BI OR 255864-92-7/BI OR 398141-84-9/BI)

=> d ide can 114 1-9

L14 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN **398141-84-9** REGISTRY

CN L-Isoleucine, L-arginyl-L-prolyl-L-lysyl-L-prolyl-L-glutaminy-L-glutaminy-L-phenylalanyl-L-phenylalanylglycyl-L-leucyl-L-methionylglycylglycyl-L-glutaminy-L-glutaminy-L-cysteinyl-L-threonyl-L-

isoleucyl-L-cysteinyglycyl-L-alanyl-L-prolyl-L-alanyl-L-seryl-L-isoleucyl-
(9CI) (CA INDEX NAME)

OTHER NAMES:

CN 1: PN: WO0212278 SEQID: 12 unclaimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

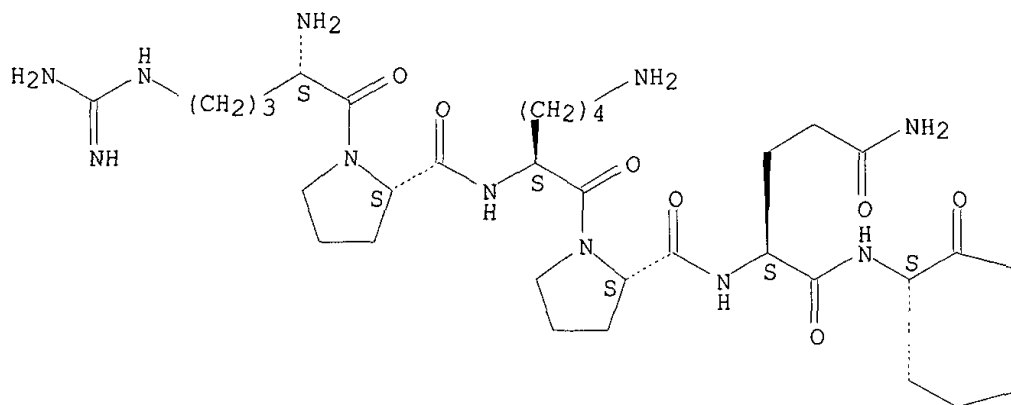
MF C121 H194 N34 O33 S3

SR CA

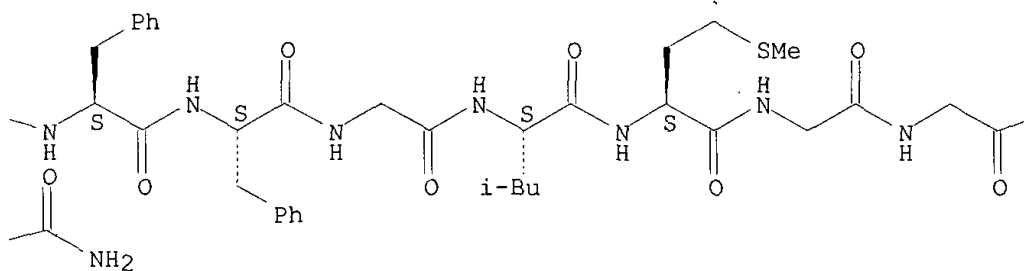
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

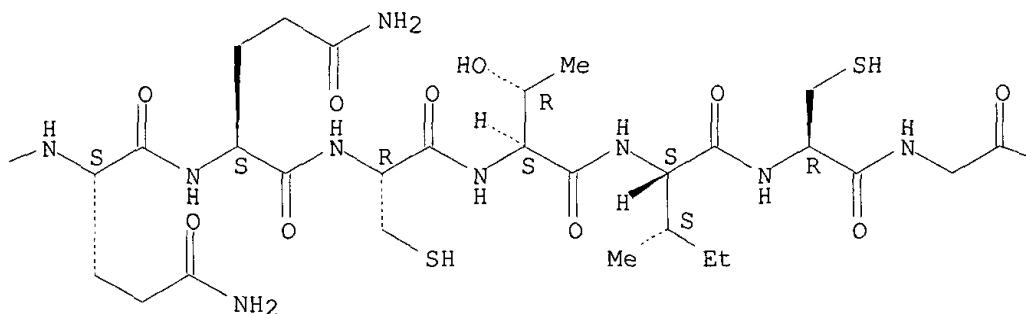
PAGE 1-A



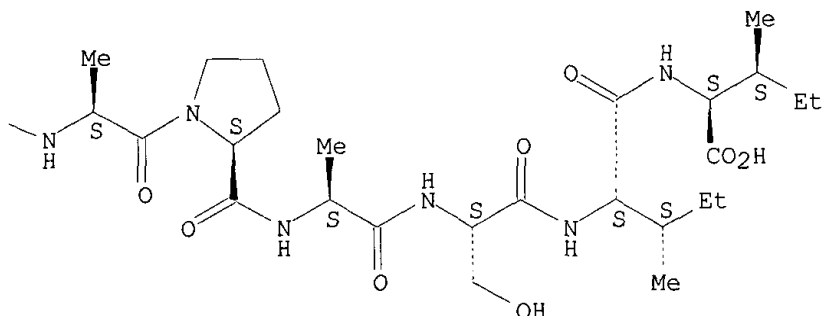
PAGE 1-B



PAGE 1-C



PAGE 1-D



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

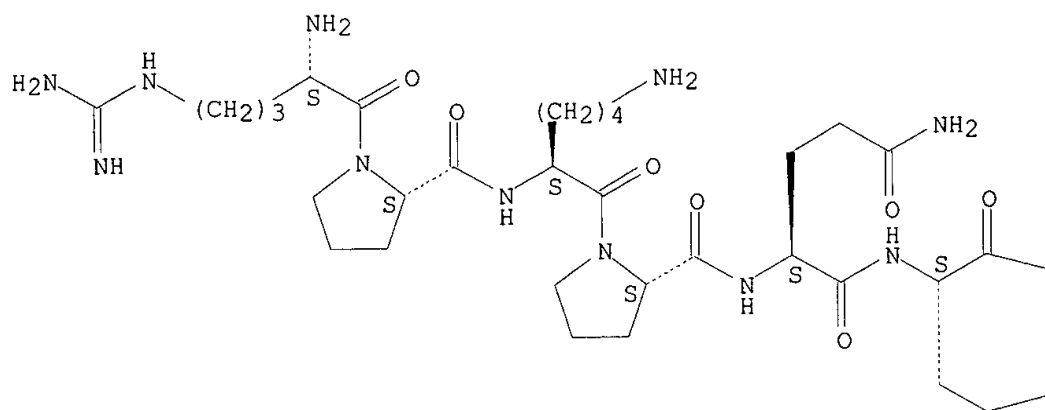
1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:180121

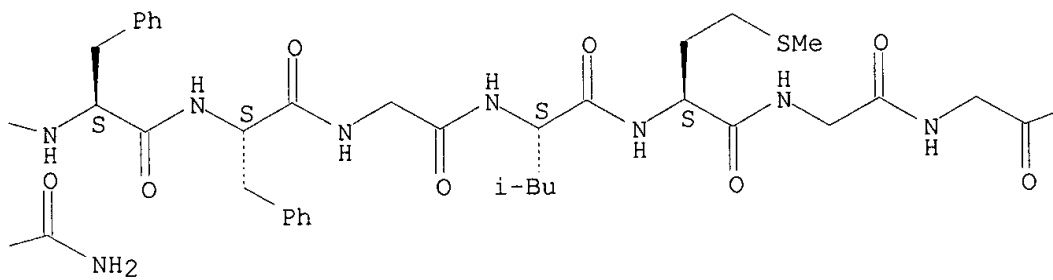
L14 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN 396719-12-3 REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C122 H197 N35 O32 S3
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

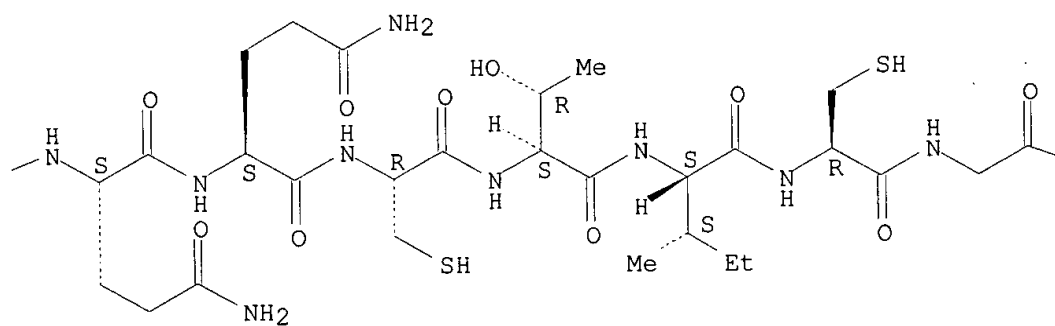
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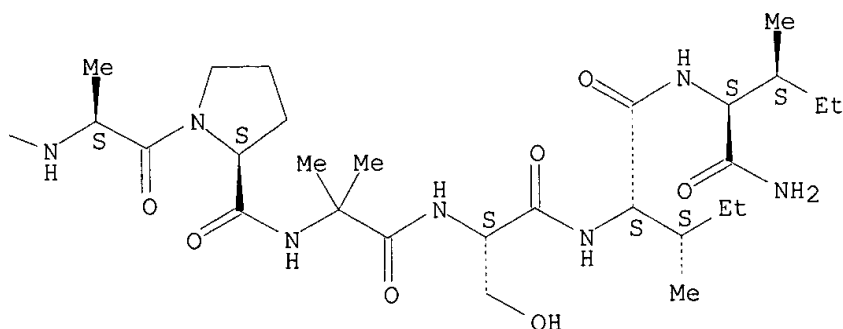
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PAGE 1-C



PAGE 1-D



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- 1 REFERENCES IN FILE CA (1962 TO DATE)
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- 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:180121

L14 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN **255864-92-7** REGISTRY

CN L-Methionine, L-valyl-L-.alpha.-aspartylglycyl-L-alanyl-L-glutaminyl-L-lysyl-L-alanylglycylglycyl-L-leucyl-L-histidyl-L-histidyl-L-glutaminyl-L-.alpha.-glutamylglycyl-L-.alpha.-glutamylglycyl-L-isoleucyl-L-threonyl-L-leucyl-L-arginyl-L-asparaginyl-L-phenylalanyl-L-prolyl-L-isoleucyl-L-isoleucyl-L-lysyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 6: PN: US6020181 SEQID: 7 unclaimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

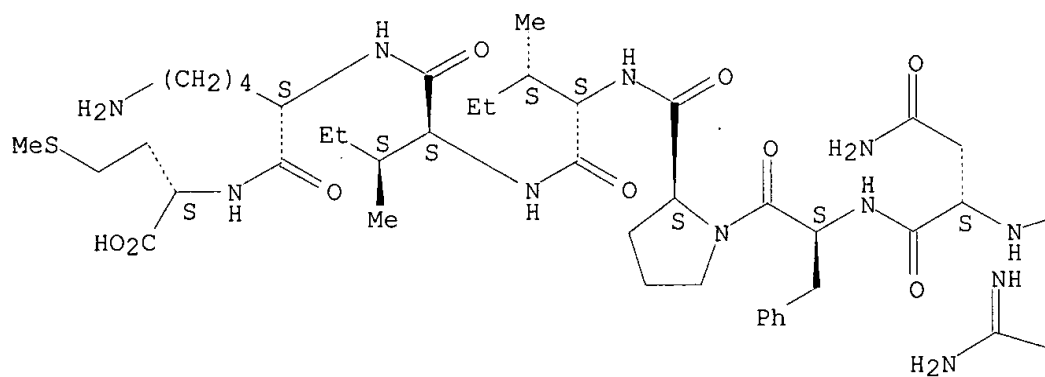
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SR CA

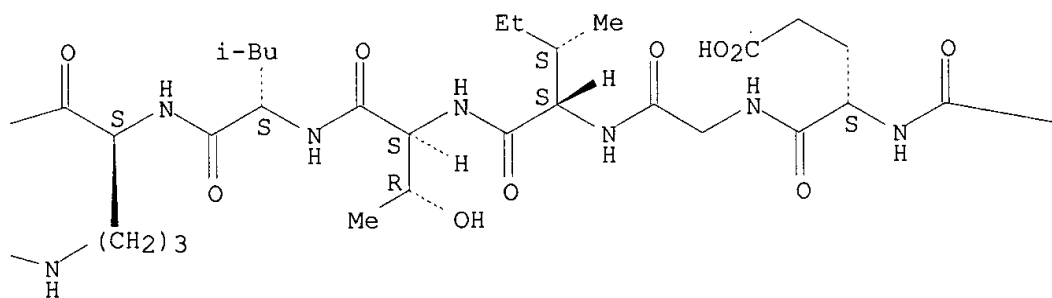
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

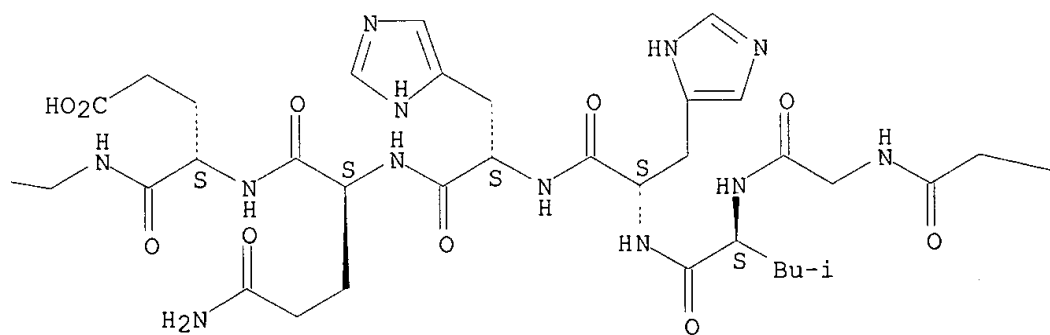
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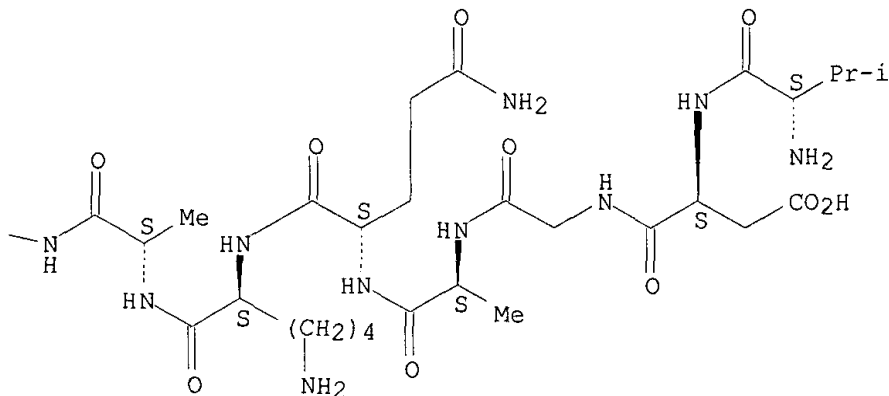


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PAGE 1-C





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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:117544

L14 : ANSWER 4 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 215649-63-1 REGISTRY

CN L-Threonine, L-histidylglycyl-L-prolyl-L-.alpha.-glutamylglycyl-L-leucyl-L-arginyl-L-valylglycyl-L-phenylalanyl-L-tyrosyl-L-.alpha.-glutamyl-L-seryl-L-.alpha.-aspartyl-L-valyl-L-methionylglycyl-L-arginylglycyl-L-histidyl-L-alanyl-L-arginyl-L-leucyl-L-valyl-L-histidyl-L-valyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-prolyl-L-histidyl- (9CI) (CA INDEX NAME)

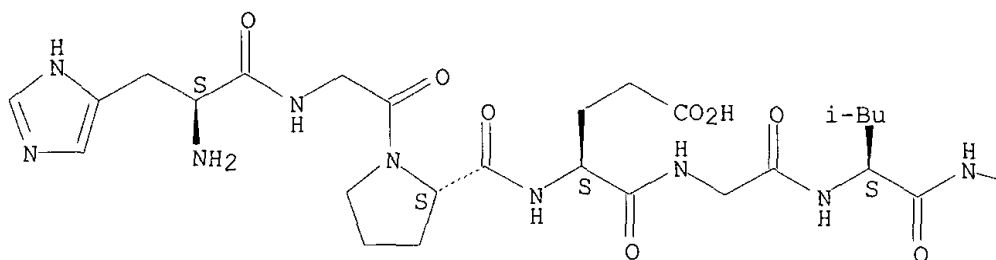
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C151 H230 N48 O45 S

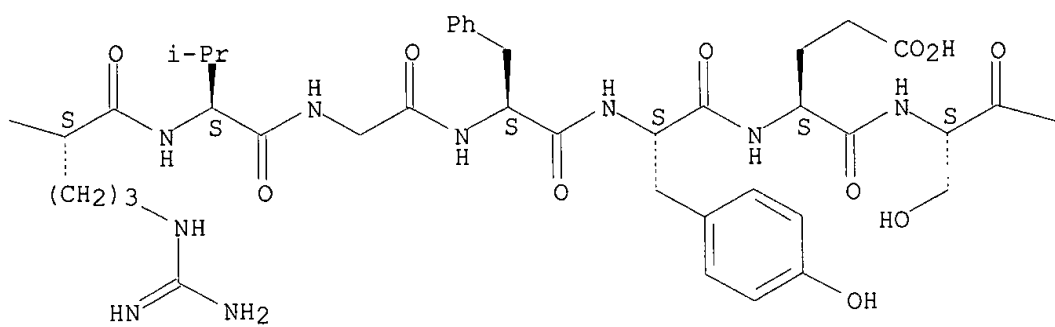
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

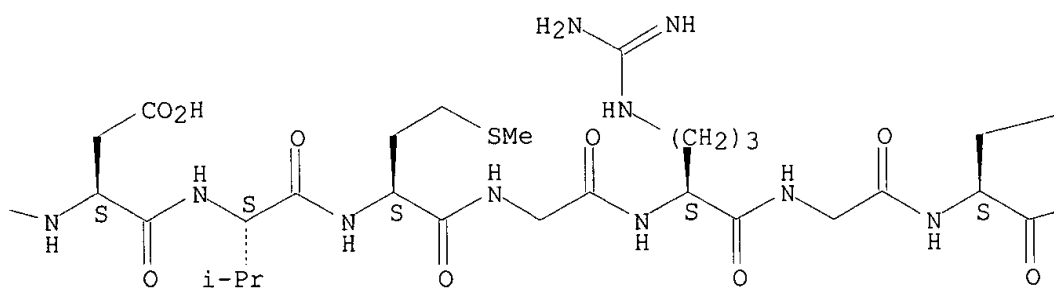
Absolute stereochemistry.



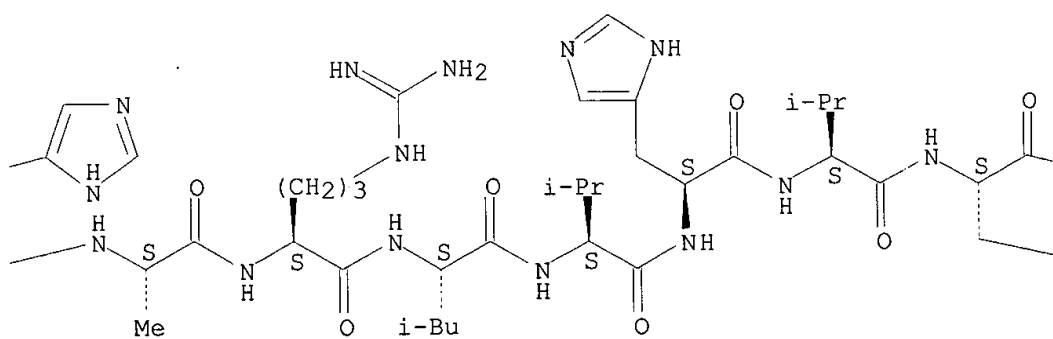
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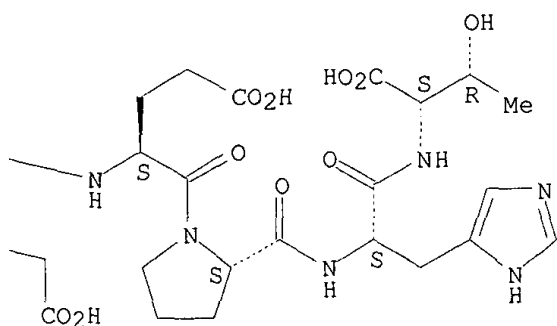
PAGE 1-C



PAGE 1-D



PAGE 1-E



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:10614

L14 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 215649-62-0 REGISTRY

CN Glycine, L-prolyl-L-glutaminylglycyl-L-leucyl-L-leucylglycyl-L-alanyl-L-prolylglycyl-L-isoleucyl-L-leucyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

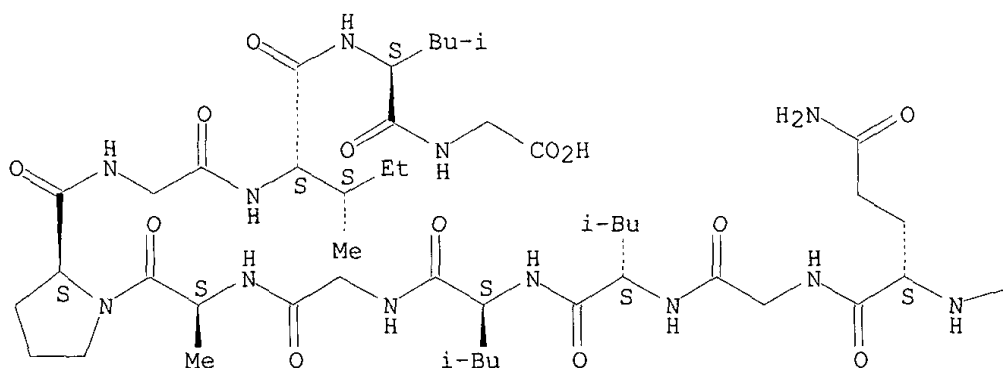
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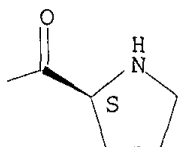
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LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

PAGE 1-A





1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:10614

L14 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN **215649-56-2** REGISTRY

CN L-Asparagine, L-seryl-L-leucyl-L-prolyl-L-leucylglycyl-L-leucyl-L-tryptophyl-L-alanyl-L-prolyl-L-asparaginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

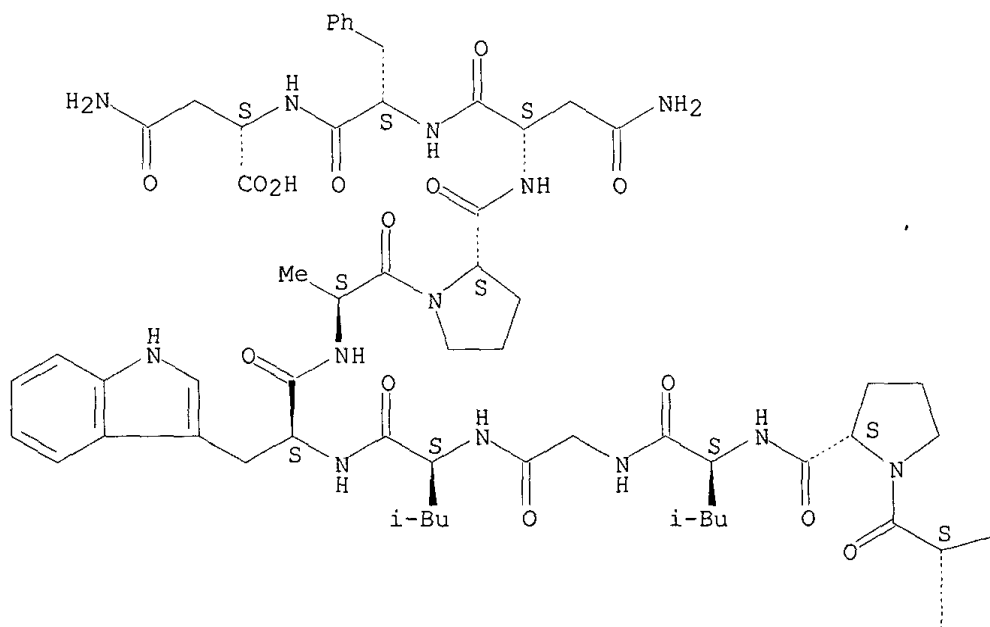
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MF C64 H93 N15 O16

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LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



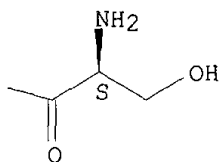
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PAGE 2-A

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PAGE 2-B



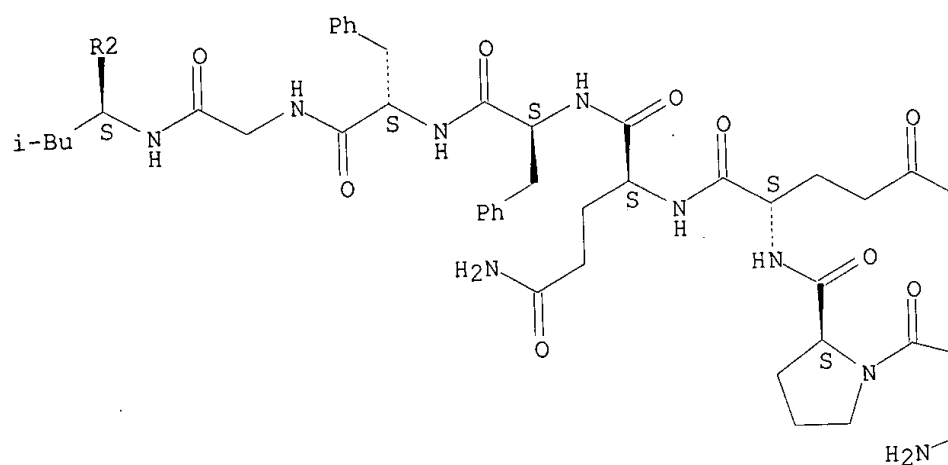
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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:10614

L14 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN **215649-29-9** REGISTRY
CN Substance P, 11a-L-asparagine- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C67 H103 N19 O16 S
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LC STN Files: CA, CAPLUS, TOXCENTER

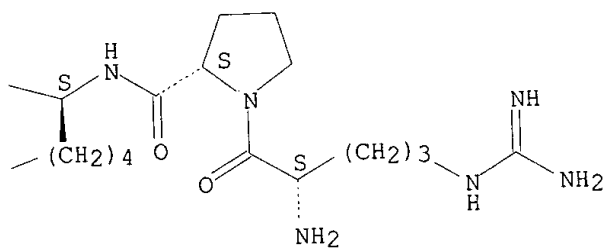
Absolute stereochemistry.

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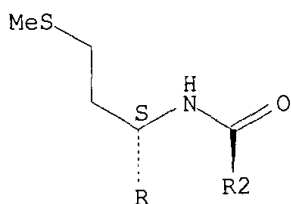
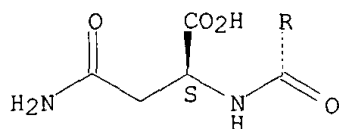


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NH₂



PAGE 2-A



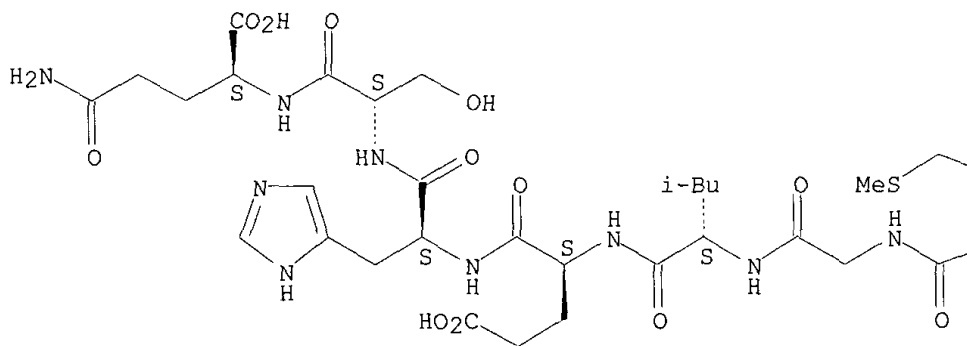
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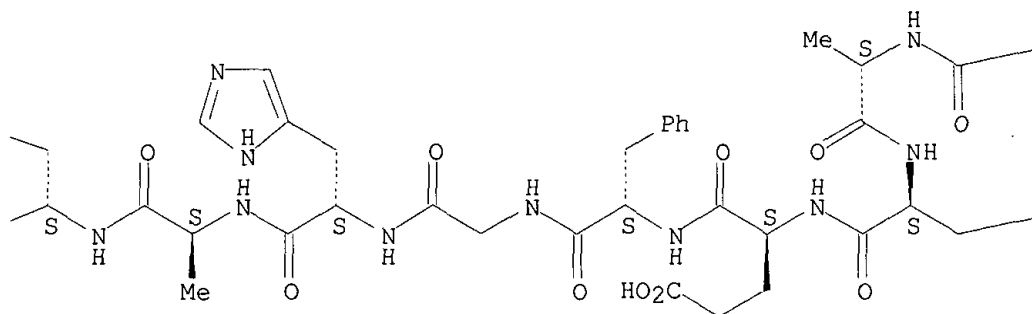
L14 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2002 ACS
RN **132116-49-5** REGISTRY
CN L-Glutamine, L-valyl-L-alanyl-L-alanyl-L-histidyl-L-.alpha.-glutamyl-L-phenylalanylglycyl-L-histidyl-L-alanyl-L-methionylglycyl-L-leucyl-L-.alpha.-glutamyl-L-histidyl-L-seryl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C74 H109 N23 O23 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

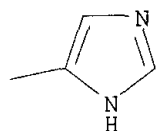
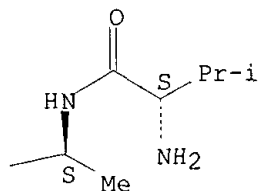
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PAGE 1-B



PAGE 1-C



2 REFERENCES IN FILE CA (1962 TO DATE)
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 115:45696

REFERENCE 2: 114:221378

L14 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2002 ACS

RN 33507-63-0 REGISTRY

CN Substance P (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 1: PN: US20020037833 SEQID: 1 unclaimed sequence

CN 21: PN: WO0181408 SEQID: 44 claimed protein

CN L-Methioninamide, L-arginyl-L-prolyl-L-lysyl-L-prolyl-L-glutaminyll-L-glutaminyll-L-phenylalanyl-L-phenylalanylglycyl-L-leucyl-

CN Neurokinin P

CN Substance P (1-11)

CN Substance P (peptide)

CN Substance P (smooth-muscle stimulant)

FS PROTEIN SEQUENCE; STEREOSEARCH

DR 12769-48-1, 11035-08-8

MF C63 H98 N18 O13 S

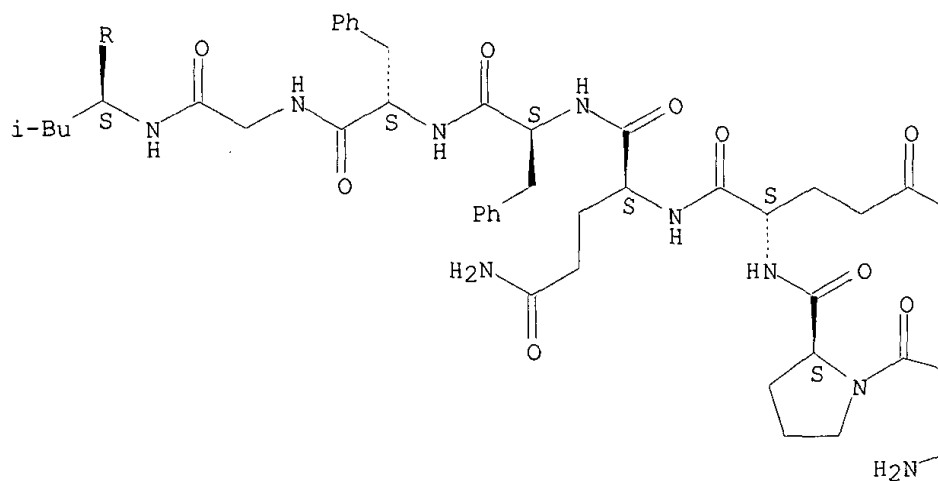
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LC STN Files: ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,
CABA, CANCERLIT, CAPLUS, CASREACT, CEN, CHEMCATS, CHEMLIST, CIN, CSCHEM,
CSNB, DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*,
MSDS-OHS, PROMT, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**
(**Enter CHEMLIST File for up-to-date regulatory information)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

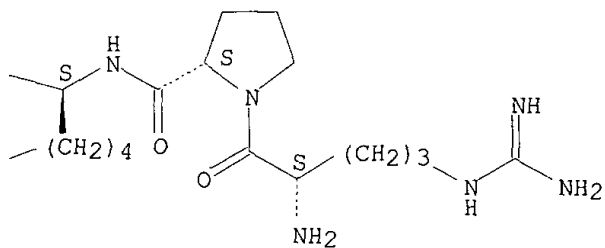
Absolute stereochemistry.

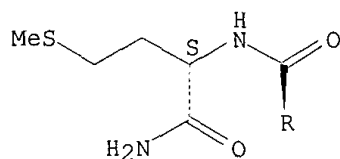
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NH₂





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

12561 REFERENCES IN FILE CA (1962 TO DATE)
 472 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 12565 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:310935
 REFERENCE 2: 137:308756
 REFERENCE 3: 137:308548
 REFERENCE 4: 137:305925
 REFERENCE 5: 137:305029
 REFERENCE 6: 137:304919
 REFERENCE 7: 137:304657
 REFERENCE 8: 137:304468
 REFERENCE 9: 137:293453
 REFERENCE 10: 137:292889

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 15 Nov 2002 VOL 137 ISS 21
FILE LAST UPDATED: 14 Nov 2002 (20021114/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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R 2052 OR 2051 OR 2043
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L6          STR
L7          29855 SEA FILE=REGISTRY SUB=L5 SSS FUL L6
L8          1619 SEA FILE=REGISTRY ABB=ON PLU=ON MMP OR METALLOPROTEIN? OR
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L10         290 SEA FILE=HCAPLUS ABB=ON PLU=ON L2
L11         179694 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR MMP OR METALLOPROTEIN?
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L19 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2002 ACS
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ACCESSION NUMBER: 2002:503388 HCAPLUS
DOCUMENT NUMBER: 137:79229
TITLE: Preparation of cytostatic glycoconjugates having specifically cleavable peptidic linking units
INVENTOR(S): Lerchen, Hans-Georg; Baumgarten, Joerg; Lockhoff, Oswald
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1219634	A1	20020703	EP 2000-128402	20001227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2002051862	A2	20020704	WO 2001-EP14868	20011217
WO 2002051862	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2000-128402 A 20001227

OTHER SOURCE(S): MARPAT 137:79229

AB The invention relates to cytostatic glycoconjugates CT-LI-Sp1-Sp2-K (CT denotes a cytotoxic radical or a radical of a cytostatic or a cytostatic deriv. which can addnl. carry a hydroxy, carboxy or amino group; LI is a linker group comprising 5- to 8-amino acid residues in the D- or L-configuration, which can each optionally carry protective groups; Sp1 is absent or a carbonyl or thiocarbonyl radical; Sp2 is an optionally substituted arylene or alkylene radical; K is an unsubstituted or regioselectively modified carbohydrate radical) and their physiol. acceptable salts, hydrates and stereoisomers. These glycoconjugates have a tumor-specific action as a result of linkage to specific carbohydrate moieties via preferred linking units which can be selectively cleaved by enzymes such as metallomatrix proteases (MMPs), elastase or cathepsins, i.e., by enzymes which can esp. be found in tumor tissue. The preferred linking units guarantee sufficient serum stability of the conjugate of cytostatic and carbohydrate moiety and, at the same time, the desired intracellular action within tumor cells as a result of its specific enzymic or hydrolytic cleavability with release of the cytostatic. Thus, p-ROC6H4NHC(S)-Pro-Leu-Gly-His-Val-OR1 (R 6-deoxy-3-O-methyl-.beta.-L-galactopyranosyl, R10 = camptothecin residue) (1) was prepd. by reaction of 20(S)-camptothecin with N-(tert-butoxycarbonyl)-L-valine-N-carboxyanhydride, deprotection, peptide coupling reactions, and reaction with the carbohydrate ligand. Compd. 1 was assayed for cytostatic action on human large intestine cell line HT29 (IC50 = 70 nM).

IT 439911-69-0P 439911-70-3P 439911-71-4P
439911-72-5P 439911-73-6P 439911-74-7P
439911-75-8P 439911-78-1P 439911-79-2P
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439911-86-1P 439911-87-2P 439911-88-3P

439911-89-4P 439911-90-7P 439911-91-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cytostatic **glycoconjugates** having specifically cleavable peptidic **linking** units)

IT 19408-48-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. of cytostatic **glycoconjugates** having specifically cleavable peptidic **linking** units)

IT 439865-02-8P 439865-03-9P 439865-04-0P

439865-05-1P 439865-06-2P 439911-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cytostatic **glycoconjugates** having specifically cleavable peptidic **linking** units)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:185269 HCAPLUS

DOCUMENT NUMBER: 136:236836

TITLE: Peptide conjugated anti-cancer prodrugs

INVENTOR(S): Gengrinovitch, Stela

PATENT ASSIGNEE(S): Biosight Ltd., Israel

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020715	A2	20020314	WO 2001-IL839	20010905

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001088025	A5	20020322	AU 2001-88025	20010905
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PRIORITY APPLN. INFO.: US 2000-229733P P 20000905

WO 2001-IL839 W 20010905

AB The present invention relates to pharmaceutical compns. comprising a targeting peptide, a protease specific cleavable peptide, and a chemotherapeutic drug that when conjugated are substantially inactive, but upon degrdn. of the cleavable sequence by a proteolytic enzyme abundant in or within that target cancer cell, the chemotherapeutic drug is released and becomes active, and to the use of these compns. for treatment of cancer.

IT 403477-36-1 403477-37-2 403477-38-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptide-conjugated anti-cancer prodrugs)

IT 9001-12-1, Matrix metalloproteinase 1

146480-36-6, Matrix metalloproteinase 9

RL: PRP (Properties)

(peptide-conjugated anti-cancer prodrugs)

IT 403477-33-8D, drug conjugates

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptide-conjugated anti-cancer prodrugs)

L19 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:89868 HCAPLUS

DOCUMENT NUMBER: 136:156415

TITLE: Polymeric conjugates of antitumor agents

INVENTOR(S): Suarato, Antonino; Angelucci, Francesco; Caruso, Michele; Sclaro, Alessandra; Volpi, Daniele; Zamai, Moreno

PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007770	A2	20020131	WO 2001-EP7883	20010709
WO 2002007770	A3	20020516		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-18240 A 20000725

OTHER SOURCE(S): MARPAT 136:156415

AB Water sol. polymeric conjugates of antitumor agents contg. peptides that selectively are cleaved at the tumor site mainly by the action of the matrix **metalloproteinases**, e.g., **gelatinase**. The conjugates have enhanced antitumor activity and decreased toxicity with respect to the free drug. A process for their prepn., useful intermediates and pharmaceutical compns. contg. them are also described. Thus, a camptothecin deriv. contg. peptides was prepd. and allowed to react with N-(2-hydroxypropyl)methacrylamide and N-(2-hydroxypropyl)methacryloylglycinamide. The conjugate prepd. was nontoxic at all tested doses and gave 98% tumor inhibition against human colon carcinoma at 20 mg/kg in mice.

IT **393780-61-5DP**, reaction products with polymethacrylamide derivs.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polymeric **conjugates** of antitumor agents)

IT **183670-85-1D**, polymeric **conjugates 393780-79-5D**

, polymeric **conjugates 393780-88-6D**, polymeric **conjugates 393780-89-7D**, polymeric **conjugates 393780-90-0D**, polymeric **conjugates**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(polymeric **conjugates** of antitumor agents)

IT **393780-63-7**

RL: RCT (Reactant); RACT (Reactant or reagent)

(polymeric **conjugates** of antitumor agents)

IT **393780-60-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(polymeric **conjugates** of antitumor agents)

L19 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:41635 HCAPLUS

DOCUMENT NUMBER: 136:107481

TITLE: Peptide-lipid conjugates, liposomes and liposomal drug delivery

INVENTOR(S): Meers, Paul R.; Pak, Charles; Ali, Shaukat; Janoff, Andrew; Franklin, J. Craig; Erukulla, Ravi K.; Cabral-Lilly, Donna; Ahl, Patrick L.

PATENT ASSIGNEE(S): Elan Pharmaceuticalstechnologies, Inc., USA

SOURCE: U.S., 50 pp., Cont.-in-part of U.S. 6,143,716.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6339069	B1	20020115	US 1999-343650	19990629
US 6087325	A	20000711	US 1997-950618	19971015
US 6143716	A	20001107	US 1998-168010	19981007
WO 2001000247	A1	20010104	WO 2000-US16248	20000613
WO 2001000247	C2	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1198256	A1	20020424	EP 2000-942784	20000613
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

PRIORITY APPLN. INFO.:
 US 1996-27544P P 19961015
 US 1997-39183P P 19970227
 US 1997-950618 A3 19971015
 US 1998-168010 A2 19981007
 US 1999-343650 A 19990629
 WO 2000-US16248 W 20000613

OTHER SOURCE(S): MARPAT 136:107481

AB Peptide-lipid conjugates are incorporated into liposomes so as to selectively destabilize the liposomes in the vicinity of target peptidase-secreting cells, and hence, to deliver the liposomes to the vicinity of the target cells, or directly into the cells. The liposomes can thus be used to treat mammals for diseases, disorders or conditions, e.g., tumors, microbial infection and inflammations, characterized by the occurrence of peptidase-secreting cells.

IT **9001-12-1**, Collagenase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (human; peptide-lipid conjugates, liposomes and liposomal drug delivery to peptidase-secreting cells)

IT **9004-06-2**, Elastase **79955-99-0**, **Stromelysin**

RL: BSU (Biological study, unclassified); BIOL (Biological study) (peptide-lipid conjugates, liposomes and liposomal drug delivery to peptidase-secreting cells)

IT **389063-76-7 389063-77-8**

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (peptide-lipid **conjugates**, liposomes and liposomal drug

delivery to peptidase-secreting cells)
REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:903794 HCAPLUS
DOCUMENT NUMBER: 136:58784
TITLE: Encapsulation of plasmid DNA (Lipogenes) and
therapeutic agents with nuclear localization
signal/fusogenic peptide conjugates into targeted
liposome complexes
INVENTOR(S): Boulikas, Teni
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001093836	A2	20011213	WO 2001-US18657	20010608
WO 2001093836	A3	20021003		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-210925P P 20000609

AB A method is disclosed for encapsulating plasmids, oligonucleotides or
neg.-charged drugs into liposomes having a different lipid compn. between
their inner and outer membrane bilayers and able to reach primary tumors
and their metastases after i.v. injection to animals and humans. The
formulation method includes complex formation between DNA with cationic
lipid mols. and fusogenic/NLS peptide conjugates composed of a hydrophobic
chain of about 10-20 amino acids and also contg. four or more histidine
residues or NLS at their one end. The encapsulated mols. display
therapeutic efficacy in eradicating a variety of solid human tumors
including but not limited to breast carcinoma and prostate carcinoma.
Combination of the plasmids, oligonucleotides or neg.-charged drugs with
other anti-neoplastic drugs (the pos.-charged cis-platin, doxorubicin)
encapsulated into liposomes are of therapeutic value. Also of therapeutic
value in cancer eradication are combinations of the encapsulated plasmids,
oligonucleotides or neg.-charged drugs with HSV-tk plus encapsulated
ganciclovir.

IT 122363-14-8 247040-74-0D, N-terminal lysine and/or
arginine and/or histidine extended 379717-54-1
379717-56-3 379717-57-4 379717-98-3
379719-33-2 379720-01-1 379720-04-4
379720-20-4 379720-21-5

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
use); BIOL (Biological study); USES (Uses)
(encapsulation of plasmid DNA (Lipogenes) and therapeutic agents with
nuclear localization signal/fusogenic peptide **conjugates** into
targeted liposome complexes)

IT 79955-99-0, **Stromelysin**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; encapsulation of plasmid DNA (Lipogenes) and therapeutic

agents with nuclear localization signal/fusogenic peptide conjugates into targeted liposome complexes)
 IT 161007-71-2 177714-50-0 247040-78-4
 RL: PRP (Properties)
 (unclaimed sequence; encapsulation of plasmid DNA (Lipogenes) and therapeutic agents with nuclear localization signal/fusogenic peptide conjugates into targeted liposome complexes)

L19 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 2001:868272 HCAPLUS
 DOCUMENT NUMBER: 136:11092
 TITLE: Contrast agents
 INVENTOR(S): Klaveness, Jo; Tolleshaug, Helge
 PATENT ASSIGNEE(S): Nycomed Imaging AS, Norway
 SOURCE: PCT Int. Appl., 77 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001089584	A2	20011129	WO 2001-NO215	20010523
WO 2001089584	A3	20020502		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: NO 2000-2644 A 20000523
 US 2000-210061P P 20000607

AB This invention relates to contrast agents and the use of these contrast agents for diagnosis of diseases in humans and animals based on mapping of metabolic activity. The contrast agents can be used to identify tissue or cells with metabolic activity or enzymic activity deviating from the normal. A contrast agent substrate changes pharmacodynamic and/or pharmacokinetic properties upon a chem. modification from a contrast agent substrate to a contrast agent product in a specific enzymic transformation, thereby detecting areas of disease upon a deviation in the enzyme activity from the normal. Examples showing prepn. of conjugates which are substrates for MMP-7, cathepsin D, esterase, transglutaminase, and caspase-3 are given, as well as methods for prepg. microbubble dispersions. The conjugates are suitable for MRI, PET and scintigraphy.

IT 9001-12-1, Collagenase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (contrast agents as enzyme substrates for detection of changes in enzymic/metabolic activity)

IT 141256-52-2, Matrix metalloproteinase 7
 141907-41-7, Matrix metalloproteinase
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); CAT (Catalyst use); BIOL (Biological study); USES (Uses)
 (peptide-conjugated gadolinium and technetium complexes as contrast agents: prepn. and formulation as microbubbles)

IT 9040-48-6, Gelatinase
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(peptide-conjugated gadolinium and technetium complexes as contrast agents: prepn. and formulation as microbubbles)

IT 374804-69-0P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(peptide-conjugated gadolinium and technetium complexes as contrast agents: prepn. and formulation as microbubbles)

L19 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:693138 HCAPLUS

DOCUMENT NUMBER: 135:273218

TITLE: Preparation of peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use
INVENTOR(S): Copeland, Robert A.; Albright, Charles F.; Combs, Andrew P.; Dowling, Radine L.; Graciani, Nilsa R.; Han, Wei; Higley, C. Anne; Huang, Pearl S.; Yue, Eddy W.; Dimeo, Susan V.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 203 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068145	A2	20010920	WO 2001-US8589	20010315
WO 2001068145	A3	20020711		

W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IN, JP, KR, LT, LU, LV, MX, NZ, PL, PT, RO, RU, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

US 2002103133	A1	20020801	US 2001-808832	20010315
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PRIORITY APPLN. INFO.: US 2000-189387P P 20000315

OTHER SOURCE(S): MARPAT 135:273218

AB This invention is directed to antineoplastic agents conjugated to enzyme-cleavable peptides comprising the amino acid recognition sequence of a membrane-bound and/or cell-secreted peptidase. The conjugated compds. are for use as chemotherapeutic agents in the targeted treatment of cancers. Claimed peptide sequences include Cap-Paa-Xa2-Gly-Xpl-Laa, where Cap is an N-terminus group R, Xa4 or R-Xa4 (R is an amino capping group, Xa4 is an amino acid), Paa is Pro, 4-hydroxyproline (Hyp), 2-carboxyazetidine (Aze), homo-Pro, cyclohexylglycine (Chg), 4-fluorophenylalanine (Fph), nipecotic acid (Npa), 4-thiazolidinecarboxylic acid (Tzc), or proline mimetic; Xa2 is an amino acid; Xpl is an amino acid wherein -Gly-Xpl- or -Sar-Xpl form a bond cleavable by a **matrixin**; Laa is an amino acid, e.g., Leu, Ile, Nle, .beta.-homo-Leu, homoleucine, homoserine, Ala and cyclohexylalanine. Thus, peptide conjugate Ac-PLGLYL-Dox (Dox = doxorubicin) was prepd. by the solid phase method and evaluated for stability in blood and cleavage with **MMPs** and neprilysin.

IT 362588-93-0

RL: PRP (Properties)

(Unclaimed; prepn. of peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use)

IT 360779-39-1P 360779-40-4P 360779-41-5P
360779-42-6P 360779-43-7P 360779-44-8P
360779-45-9P 360779-46-0P 360779-47-1P
360779-48-2P 360779-49-3P 360779-50-6P
360779-51-7P 360779-52-8P 360779-53-9P

360779-54-0P 360779-55-1P 360779-56-2P
 360779-63-1P 360779-64-2P 360779-65-3P
 360779-66-4P 360779-67-5P 360779-68-6P
 360779-69-7P 360779-70-0P 360779-71-1P
 360779-72-2P 360779-73-3P 360779-74-4P
 360779-76-6P 360779-77-7P 360779-78-8P
 360779-79-9P 360779-80-2P 360779-81-3P
 360779-82-4P 360779-83-5P 360779-84-6P
 360779-85-7P 360779-86-8P 360779-87-9P
 360779-88-0P 360779-89-1P 360779-90-4P
 360779-93-7P 360779-94-8P 360779-95-9P
 360779-96-0P 360779-97-1P 360780-00-3P
 360780-07-0P 360780-11-6P 360780-13-8P
 360780-14-9P 360780-15-0P 360780-16-1P
 360780-17-2P 360780-19-4P 360780-23-0P
 360780-24-1P 360780-26-3P 360780-29-6P
 360780-31-0P 360780-32-1P 360780-41-2P
 360780-43-4P 360780-45-6P 360780-46-7P
 360780-48-9P 360780-49-0P 360780-50-3P
 360780-51-4P 360780-57-0P 360780-58-1P
 360780-59-2P 360780-60-5P 360780-61-6P
 360780-62-7P 360780-63-8P 360780-64-9P
 360780-65-0P 360780-66-1P 360780-67-2P
 360780-68-3P 360780-69-4P 360780-70-7P
 360780-71-8P 360780-72-9P 360780-73-0P
 360780-74-1P 360780-75-2P 360780-76-3P
 360780-77-4P 360780-78-5P 360780-79-6P
 360780-80-9P 360780-81-0P 360780-82-1P
 360780-83-2P 360780-84-3P 360780-85-4P
 360780-86-5P 360780-87-6P 360780-88-7P
 360780-89-8P 360780-90-1P 360780-91-2P
 360780-92-3P 360780-93-4P 360780-94-5P
 360780-95-6P 360780-96-7P 360780-97-8P
 360780-98-9P 360780-99-0P 360781-00-6P
 360781-01-7P 360781-02-8P 360781-03-9P
 360781-04-0P 360781-06-2P 360781-07-3P
 360781-08-4P 360781-09-5P 360781-11-9P
 360781-13-1P 360781-16-4P 360781-17-5P
 360781-21-1P 360781-22-2P 360781-23-3P
 360781-24-4P 360781-26-6P 360781-27-7P
 360781-39-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of antineoplastic agents **conjugated** to enzyme-cleavable peptides)

IT 146480-35-5, **Gelatinase A** 146480-36-6, **Gelatinase B** 161384-17-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (prepn. of antineoplastic agents conjugated to enzyme-cleavable peptides)

IT 360781-28-8P 360781-29-9P 360781-37-9P
 360781-38-0P 360781-45-9P 360781-46-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of antineoplastic agents **conjugated** to enzyme-cleavable peptides)

IT 206558-84-1 362588-94-1 362588-95-2
 362588-96-3 362588-97-4 362588-99-6

RL: PRP (Properties)
 (unclaimed sequence; prepn. of peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use)

L19 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:310488 HCAPLUS
DOCUMENT NUMBER: 134:331596
TITLE: Polymer-lipid conjugate for fusion of target membranes
INVENTOR(S): Martin, Francis J.; Zalipsky, Samuel
PATENT ASSIGNEE(S): Sequus Pharmaceuticals, Inc., USA
SOURCE: U.S., 38 pp., Cont.-in-part of U.S. 5,891,468.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6224903	B1	20010501	US 1998-208684	19981210
US 5891468	A	19990406	US 1997-949046	19971010
EP 1214935	A2	20020619	EP 2002-76092	19971010

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, AL

PRIORITY APPLN. INFO.:
US 1996-28269P P 19961011
US 1997-949046 A2 19971010
EP 1997-912775 A3 19971010

AB A fusogenic liposome compn. for delivering a liposome-entrapped compd. into the cytoplasm of a target cell is described. The liposomes have an outer surface coating of chem. releasable hydrophilic polymer chains which shield hydrophobic polymers on the liposome outer surface. Release of the hydrophilic polymer chains exposes the hydrophobic polymers for interaction with outer cell membranes of the target cells to promote fusion of the liposome with the target cells. Also disclosed is a polymer-lipid conjugate for use in promoting fusion between target membranes. The conjugate is composed of a first segment composed of a hydrophilic polymer and a second hydrophobic polymer segment. The second segment is joined to the first segment by a bond effective to release the first segment in response to an existing or an induced physiol. condition. Attached to the second segment is a vesicle-forming lipid member.

IT 9001-12-1, Collagenase 9004-06-2, Elastase
9040-48-6, Gelatinase 141907-41-7, Matrix metalloproteinase

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(polymer-lipid conjugate for fusion of target membranes)

IT 285552-08-1, Plglwa peptide+ 335596-41-3,
Fagvviglaalgvataaqvtaavalv peptide+ 335596-51-5,
Ifgiddliigllfvaivetgiggyll peptide+

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(polymer-lipid conjugate for fusion of target membranes)

IT 9002-88-4, Polyethylene

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(polymer-lipid conjugate for fusion of target membranes)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:12305 HCAPLUS
DOCUMENT NUMBER: 134:76374
TITLE: Peptide-lipid conjugates, liposomes and liposomal drug delivery

INVENTOR(S): Meers, Paul; Pak, Charles; Ali, Shaukat; Janoff, Andrew; Franklin, J. Craig; Erukulla, Ravi; Cabral-Lilly, Donna; Ahl, Patrick
 PATENT ASSIGNEE(S): The Liposome Company, Inc., USA
 SOURCE: PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000247	A1	20010104	WO 2000-US16248	20000613
WO 2001000247	C2	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 6339069 B1 20020115 US 1999-343650 19990629 EP 1198256 A1 20020424 EP 2000-942784 20000613 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL PRIORITY APPLN. INFO.: US 1999-343650 A 19990629 US 1996-27544P P 19961015 US 1997-39183P P 19970227 US 1997-950618 A3 19971015 US 1998-168010 A2 19981007 WO 2000-US16248 W 20000613				

OTHER SOURCE(S): MARPAT 134:76374

AB Peptide-lipid conjugates are incorporated into liposomes so as to selectively destabilize the liposomes in the vicinity of target peptidase-secreting cells, and hence, to deliver the liposomes to the vicinity of the target cells, or directly into the cells. The liposomes can thus be used to treat mammals for diseases, disorders or conditions, e.g., tumors, microbial infection and inflammations, characterized by the occurrence of peptidase-secreting cells.

IT 9001-12-1, Collagenase 9004-06-2, Elastase

79955-99-0, Stromelysin

RL: ADV (Adverse effect, including toxicity); BOC (Biological occurrence); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence); PROC (Process)
 (peptide-lipid conjugates, liposomes and targeted liposomal drug delivery)

IT 206558-84-1

RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (peptide-lipid **conjugates**, liposomes and targeted liposomal drug delivery)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:772489 HCAPLUS

DOCUMENT NUMBER: 133:355232

TITLE: Enzymatically activated polymeric drug conjugates

INVENTOR(S): Pachence, James M.; Belinka, Benjamin A.; Ramani,

Thulasi
 PATENT ASSIGNEE(S): Veritas Medical Technologies, Inc., USA
 SOURCE: PCT Int. Appl., 100 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064486	A2	20001102	WO 2000-US11670	20000428
WO 2000064486	A3	20010426		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 1176985 A2 20020206 EP 2000-928630 20000428 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-131404P P 19990428
 US 1999-163090P P 19991102
 WO 2000-US11670 W 20000428

AB The present invention relates to a polymeric drug conjugate with one or more biol. active agents conjugated via an enzymically cleavable linker to either a regular repeating linear unit comprising a water sol. polymer segment and a multifunctional chem. moiety, or a branched polymer comprising two or more water sol. polymer segments each bound to a common multifunctional chem. moiety, as well as to methods of making such conjugates. The present invention is also directed to pharmaceutical compns. comprising such conjugates and to the use of such conjugates to treat pathol. conditions. A conjugate consisting of Fmoc-doxorubicin-14-O-hemiglutarate deriv. as an active agent, tetrapeptide Val-Gly-Pro-Ala as an enzymically cleaved linker, a multifunctional chem. moiety prep. from N-fluorenylmethoxycarbonyl-O-tert-butylserine, N-(benzyloxycarbonyl)-ethane-1,2-diamine, and tetrahydropyranyl ether, and polyethylene glycol 2000 was prep.

IT 9001-12-1, Collagenase 9004-06-2, Elastase
 9040-48-6, Gelatinase 79955-99-0,
 Stromelysin 81669-70-7, Metalloproteinase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (polymeric drug conjugate contg. water-sol. polymers and
 multifunctional chem. moieties and enzymically cleavable linkers and
 biol. active agents)

IT 285552-08-1D, conjugates with polymers and
 multifunctional chem. moieties and biol. active agents
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (polymeric drug conjugate contg. water-sol. polymers and
 multifunctional chem. moieties and enzymically cleavable
 linkers and biol. active agents)

IT 285552-08-1
 RL: PRP (Properties)
 (unclaimed sequence; enzymically activated polymeric drug
 conjugates)

L19 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1998:289291 HCAPLUS
 DOCUMENT NUMBER: 129:51079

TITLE: Topology of the calmodulin-melittin complex
 AUTHOR(S): Scalonì, Andrea; Miraglia, Nadia; Orru, Stefania;
 Amodeo, Pietro; Motta, Andrea; Marino, Gennaro; Pucci,
 Piero
 CORPORATE SOURCE: Centro Internazionale di Servizi di Spettrometria di
 Massa, CNR-Università di Napoli, Naples, 80131, Italy
 SOURCE: Journal of Molecular Biology (1998), 277(4), 945-958
 CODEN: JMOBAK; ISSN: 0022-2836
 PUBLISHER: Academic Press Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The topol. of the Ca²⁺-calmodulin-melittin ternary complex has been investigated by a combined strategy which integrates limited proteolysis and crosslinking expts. with mass spectrometric methodologies. The rationale behind the methods is that the interface regions of two interacting proteins are accessible to the solvent in the isolated mols., whereas they become protected following the formation of the complex. Therefore, when limited proteolysis expts. are carried out on both the isolated proteins and the complex, differential peptide maps are obtained from which the interface regions can be inferred. Alternatively, crosslinking reactions performed under strictly controlled conditions lead to the identification of spatially closed amino acid residues in the complex. Mass spectrometry can be employed in both procedures for the definition of the cleavage sites and to identify covalently linked residues. Our results show that melittin interacts with calmodulin by adopting a parallel orientation, i.e. the N and C-terminal halves of the peptide are anchored to the amino and carboxy-terminal domains of the protein, resp. This orientation is inverted with respect to all the peptide substrates examd. so far. A model of the complex was designed and refined on the basis of the exptl. results, supporting the above conclusions. This finding reveals a further dimension to the already remarkable capability of calmodulin in binding different protein substrates, providing this protein with the capability of regulating an even larger no. of enzymes.

IT 9004-06-2, Elastase 55576-49-3, Endoproteinase Asp-N
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (topol. of the calcium-calmodulin-melittin complex using limited proteolysis and crosslinking expts. combined with mass spectrometry)
 IT 20449-79-0D, Honey bee melittin, calcium-calmodulin complexes
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (topol. of the calcium-calmodulin-melittin complex using limited proteolysis and **crosslinking** expts. combined with mass spectrometry)

L19 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2002 ACS
 ACCESSION NUMBER: 1998:251066 HCAPLUS
 DOCUMENT NUMBER: 128:326497
 TITLE: Peptide-lipid conjugates, liposomes and liposomal drug delivery
 INVENTOR(S): Meers, Paul R.; Pak, Charles; Ali, Shaukat; Janoff,
 Andrew S.; Franklin, J. Craig; Erukulla, Ravi K.;
 Cabral-Lilly, Donna
 PATENT ASSIGNEE(S): Liposome Company, Inc., USA
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 WO 9816240 A1 19980423 WO 1997-US18538 19971015
 W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP,
 KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG,
 SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
 GN, ML, MR, NE, SN, TD, TG
 AU 9748207 A1 19980511 AU 1997-48207 19971015
 AU 725376 B2 20001012
 EP 964690 A1 19991222 EP 1997-910950 19971015
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 NO 9903258 A 19990730 NO 1999-3258 19990630
 PRIORITY APPLN. INFO.: US 1996-27544P P 19961015
 WO 1997-US18538 W 19971015

OTHER SOURCE(S): MARPAT 128:326497

AB Peptide-lipid conjugates are incorporated into liposomes so as to selectively destabilize the liposomes in the vicinity of target peptidase-secreting cells, and hence, to deliver the liposomes to the vicinity of the target cells, or directly into the cells. The liposomes can thus be used to treat mammals for diseases, disorders or conditions, e.g., tumors, microbial infection and inflammations, characterized by the occurrence of peptidase-secreting cells. N-Ac-Ala-Ala-DOPE (DOPE = dioleoylphosphatidylethanolamine) was prepd. and subjected to peptidase cleavage.

IT **9004-06-2, Elastase 79955-99-0, Stromelysin**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (peptide-lipid conjugates for liposomal drug delivery)

IT **81669-70-7, Metalloproteinase**
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptide-lipid conjugates for liposomal drug delivery)

IT **206558-82-9D, reaction products with lipids 206558-84-1D**
 , reaction products with lipids
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sequence; peptide-lipid **conjugates** for liposomal drug delivery)

L19 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:377089 HCAPLUS

DOCUMENT NUMBER: 125:49345

TITLE: Compounds, pharmaceutical composition and diagnostic system comprising same, and their use

INVENTOR(S): Trouet, Andre; Baurain, Roger

PATENT ASSIGNEE(S): La Region Wallonne, Belg.; Baurain, Roger

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605863	A1	19960229	WO 1995-BE76	19950821
W:				
AM, AU, BA, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

BE 1008580	A3	19960604	BE 1994-751	19940819
BE 1008581	A3	19960604	BE 1994-752	19940819
CA 2203622	AA	19960229	CA 1995-2203622	19950821
AU 9532486	A1	19960314	AU 1995-32486	19950821
AU 694546	B2	19980723		
EP 769967	A1	19970502	EP 1995-928905	19950821
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10508291	T2	19980818	JP 1995-507662	19950821
NO 9700748	A	19970410	NO 1997-748	19970218
US 5962216	A	19991005	US 1997-793910	19970401
US 6342480	B1	20020129	US 1999-298330	19990423
US 2002160943	A1	20021031	US 2001-12576	20011109
PRIORITY APPLN. INFO.:				
			BE 1994-751	A 19940819
			BE 1994-752	A 19940819
			WO 1995-BE76	W 19950821
			US 1997-793910	A1 19970401
			US 1999-298330	A1 19990423

OTHER SOURCE(S): MARPAT 125:49345

AB The compds. W-Z-M of the invention comprise an element M, selected from markers and therapeutic agents having an intracellularly active site, linked to a ligand W-Z having an arm Z linked to a terminal group W. The bond between the arm Z of the ligand W-Z and the element M prevents the compd. (W-Z-M) from penetrating within the cells and/or inhibits expression of the marker M. This bond is selectively cleaved by factors secreted by target cells so as to enable the marker M to be expressed in the target cells or the therapeutic agent M to penetrate therein; the terminal group W ensures that the compd. (W-Z-M) is stable in serum and circulating blood. Data are presented for e.g. effect of .beta.-Ala-L-Leu-L-Ala-L-Leu-daunorubicin conjugate with mammary carcinoma cells. Also described is characterization of protease(s) secreted into the extracellular medium and able to hydrolyze .beta.-Ala-Leu-Ala-Leu-doxorubicin.

IT 177953-64-9 177953-65-0

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(drug **conjugates** and marker **conjugates** with cleavable bond, pharmaceutical compns., and diagnostic system)

IT 81669-70-7P, Metalloprotease

RL: BPR (Biological process); BSU (Biological study, unclassified); PUR (Purification or recovery); BIOL (Biological study); PREP (Preparation); PROC (Process)
(protease secreted by human mammary carcinoma cells and hydrolyzing doxorubicin-peptide conjugate)

L19 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:113964 HCAPLUS

DOCUMENT NUMBER: 124:211783

TITLE: Polymeric prodrugs of mitomycin C

AUTHOR(S): Soyez, Heidi; Schacht, Etienne; De Marre, Anne; Seymour, Leonard W.

CORPORATE SOURCE: Department Organic Chemistry, University Gent, Ghent, 9000, Belg.

SOURCE: Macromolecular Symposia (1996), 103(Polymers and Medicine), 163-76

CODEN: MSYMEC; ISSN: 1022-1360

PUBLISHER: Huethig & Wepf

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Poly[N-(2-hydroxyethyl)-L-glutamine] (PHEG) prodrugs of the cytotoxic agent mitomycin C (MMC) were synthesized using peptidyl spacers to link the drug to the polymeric carrier. The influence on the length and detailed structure of the oligopeptide on the rate of drug release was investigated in buffer, in the presence of lysosomal enzymes (tritosomes,

cathepsin B and D) and **metalloprotease** type IV collagenase. It was obsd. that tetra- and hexapeptide based conjugates generally release MMC more effectively than tripeptide derivs. The gly-phe-ala-leu conjugate released MMC very rapidly both in presence of lysosomal enzymes and collagenase IV. Only in the presence of the aspartic protease cathepsin D, the gly-phe-leu-gly-phe-leu deriv. turned out to be a better substrate. In vivo studies against C26 solid tumor bearing mice suggest that PHEG-spacer-MMC conjugates act as prodrugs of MMC. Antitumor efficacy of the macromol. prodrugs was better than free MMC both in inhibition of tumor growth and increasing survival.

IT **9040-48-6**, Collagenase type IV

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(polymeric prodrugs of mitomycin C)

IT **103213-38-3**

RL: RCT (Reactant); RACT (Reactant or reagent)

(polymeric prodrugs of mitomycin C)

IT **103213-38-3DP, conjugates** with mitomycin C and poly(hydroxyethylglutamine)

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(polymeric prodrugs of mitomycin C)

L19 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:656526 HCAPLUS

DOCUMENT NUMBER: 119:256526

TITLE: Compounds, compositions, and methods for binding bioaffecting substances to surface membranes of bioparticles

INVENTOR(S): Kopia, Gregory A.; Horan, Paul K.; Gray, Brian D.; Troutner, David E.; Muirhead, Katharine A.; Lin, Chia En; Sheth, Kamleshkumar A.; Yu, Zhizhou; Lever, Susan Z.; et al.

PATENT ASSIGNEE(S): Zynaxis Technologies, Inc., USA

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9311120	A1	19930610	WO 1992-US10076	19921124
W: AT, AU, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KR, LU, NL, NO, RU, SE				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2051373	AA	19901102	CA 1990-2051373	19900427
WO 9014435	A1	19901129	WO 1990-US2341	19900427
W: AU, CA, FI, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
AU 9056755	A1	19901218	AU 1990-56755	19900427
AU 645014	B2	19940106		
EP 471792	A1	19920226	EP 1990-908868	19900427
EP 471792	B1	19981223		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE				
JP 04506113	T2	19921022	JP 1990-508139	19900427
AT 175025	E	19990115	AT 1990-908868	19900427
US 5667764	A	19970916	US 1992-884432	19920515
AU 9332219	A1	19930628	AU 1993-32219	19921124
EP 643706	A1	19950322	EP 1993-900600	19921124
R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, LU, NL, SE				
JP 08502719	T2	19960326	JP 1992-510190	19921124

ZA 9209179 A 19930524 ZA 1992-9179 19921126
 PRIORITY APPLN. INFO.: US 1991-798936 A 19911127
 US 1992-884432 A 19920515
 US 1988-189192 B2 19880502
 US 1989-345436 A 19890501
 WO 1990-US2341 A 19900427
 WO 1992-US10076 A 19921124

OTHER SOURCE(S): MARPAT 119:256526

AB Compds. are provided having the capability of binding therapeutically active substances to lipid-contg. biocompatible particles, such as cells or viruses. These compds. include a bioaffecting moiety, comprising a therapeutically active substance, which is linked via a linking moiety to .gtoreq.1 hydrocarbon substituent selected so that the compd. is sufficiently nonpolar to impart lipid binding capability to the compd. Thus, compds. of the invention are useful for site-selective delivery of therapeutic agents, and retention thereof at the selected site. Methods are provided for using various compds. of the invention in treatment of diseases or other pathol. conditions. For example, methods are provided for treatment of postangioplasty restenosis, rheumatoid arthritis, tumor cell proliferation, particularly tumor cells assocd. with ovarian cancer, and psoriasis. Anticoagulant-lipophilic cyanine conjugate (I) exhibited good membrane retention on rabbit red blood cell ghosts. The membrane-bound I retained potent antithrombin activity.

IT 33507-63-0, Substance P
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, in prepn. of lipid-binding drug **conjugate**)

IT 9002-88-4, Polyethylene
 RL: BIOL (Biological study)
 (tubing of, docosanyl-tetradecyl-iodo-tetramethylindocarbocyanine chloride retention on)

L19 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:3420 HCAPLUS
 DOCUMENT NUMBER: 118:3420
 TITLE: Assay for measuring the degradation of type I collagen
 INVENTOR(S): Risteli, Juha; Risteli, Leila
 PATENT ASSIGNEE(S): Orion-Yhtyma Oy, Finland
 SOURCE: Eur. Pat. Appl., 6 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 505210	A2	19920923	EP 1992-302446	19920320
EP 505210	A3	19930616		
EP 505210	B1	19980812		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 07020126	A2	19950124	JP 1992-92420	19920319
JP 2886728	B2	19990426		
AT 169739	E	19980815	AT 1992-302446	19920320
ES 2120431	T3	19981101	ES 1992-302446	19920320
US 5538853	A	19960723	US 1994-274105	19940712

PRIORITY APPLN. INFO.: GB 1991-5893 19910320
 US 1992-855195 19920320

AB Type I collagen degrdn. product is measured by immunoassay using an antibody to type I collagen C-terminal telopeptide. Kits for the assay, antibodies to the telopeptide, and a process for isolating the telopeptide are also disclosed. Crosslinked C-terminal telopeptide of type I collagen was prepd. from type I collagen isolated from human bone. Sequences of the crosslinked peptides are shown. Antibodies raised in rabbits were

used in an equil. type RIA.

- IT **144867-99-2D**, **crosslinked** products with
crosslinked type I collagen .alpha.1 chain fragment
144868-00-8D, **crosslinked** products with
crosslinked type I collagen .alpha.1 chain fragment
 RL: PRP (Properties)
 (amino acid sequence of, type I collagen degrdn. product immunochem.
 detn. in relation to)
- IT **9001-12-1**, Collagenase
 RL: ANST (Analytical study)
 (in prepn. of crosslinked C-terminal telopeptide of type I collagen of
 human)

L19 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:651669 HCAPLUS

DOCUMENT NUMBER: 115:251669

TITLE: A method for the stepwise, controlled synthesis of
 chemical species, particularly peptides, on protein
 substrates, coupled products obtained by the method,
 and the use of these coupled products, e.g. as
 vaccines

INVENTOR(S): Houen, Gunnar; Holm, Arne

PATENT ASSIGNEE(S): Den.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9108220	A1	19910613	WO 1990-DK311	19901130
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, GR, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9168929	A1	19910626	AU 1991-68929	19901130
PRIORITY APPLN. INFO.:			DK 1989-6085	19891201
			WO 1990-DK311	19901130

- AB Chem. species, esp. peptides, are synthesized by a stepwise, controlled
 process using a proteinaceous substances as the synthesis substrate. The
 coupled products obtained by the process can be used, e.g., as vaccines,
 matrix materials, or carrier mols. The products, including peptides and
 peptide derivs., prepd. by the method are also claimed. Bovine serum
 albumin (BSA) was placed in a silylated reaction vessel and the CO₂H
 groups were diethylamidated before coupling glutamic acid as the Fmoc
 (9-fluorenylmethyloxycarbonyl) and tert-Bu protected Dhbt
 (3-hydroxy-3,4-dihydrobenzotriazin-4-one ester, blocking remaining amino
 groups with acetic anhydride, and sequentially coupling Fmoc- and side
 chain-protected Dhbt esters of lysine, serine, threonine, aspartic acid,
 methionine, and serine. Piperidine was used to remove the Fmoc protecting
 group between couplings. Side chain protection groups were removed in
 CH₂Cl₂/F₃CCO₂H (1:1 vol./vol.) at 0.degree.. The product had an av. of 35
 synthesized peptide chains per BSA mol. The coupled product was used to
 raise antibodies to Ser-Met-Asp-Thr-Ser-Lys-Glu in rabbits.

- IT **9004-06-2**, Elastase
 RL: ANST (Analytical study)
 (as carrier for peptide synthesis)

- IT **33507-63-0D**, Substance P, **conjugates** with protein
 carrier **86933-74-6D**, Neurokinin A, **conjugates** with
 protein carrier
 RL: RCT (Reactant); RACT (Reactant or reagent)

(stepwise synthesis of, for vaccines and other uses)

=> select hit rn 119 1-17
E10 THROUGH E239 ASSIGNED

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STRUCTURE FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2
DICTIONARY FILE UPDATES: 14 NOV 2002 HIGHEST RN 473658-67-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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FILE 'REGISTRY' ENTERED AT 11:41:55 ON 15 NOV 2002
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L21 218 S L20 AND (L2 OR L7)

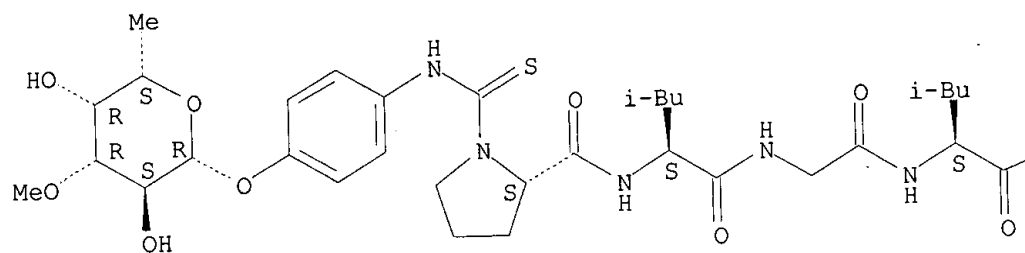
=> d ide can 121 1 10 20 40 50 60 70 80 90 100 110 120 140 150 160 170 180 190 200 210 218

L21 ANSWER 1 OF 218 REGISTRY COPYRIGHT 2002 ACS
RN 439911-98-5 REGISTRY
CN L-Valine, 1-[[[4-[(6-deoxy-3-O-methyl-.beta.-L-
galactopyranosyl)oxy]phenyl]amino]thioxomethyl]-L-prolyl-L-leucylglycyl-L-
leucyl-N6-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-lysyl-,
(4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-
pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl ester (9CI) (CA INDEX
NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C79 H96 N10 O17 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

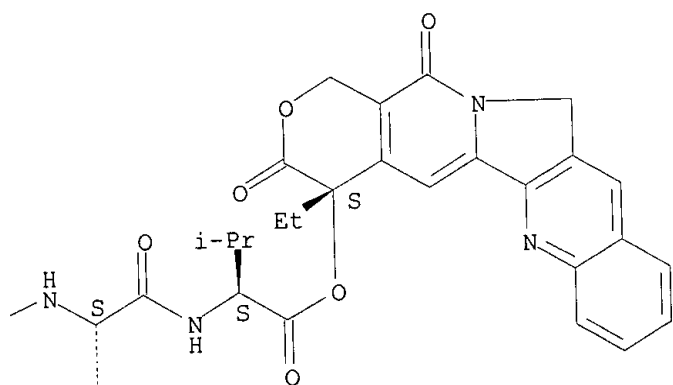
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

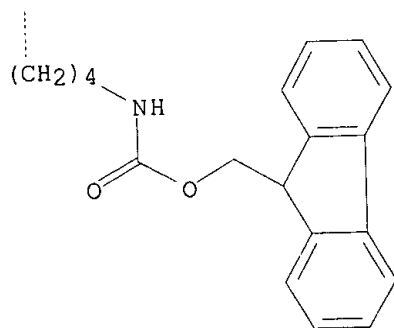
PAGE 1-A



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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:79229

L21 ANSWER 10 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN **439911-83-8** REGISTRY

CN L-Valine, 1-[[[4-[(6-deoxy-3-O-methyl-.beta.-L-galactopyranosyl)oxy]phenyl]amino]thioxomethyl]-L-prolyl-L-leucylglycyl-L-leucyl-L-.alpha.-glutamyl-, (4S)-4-ethyl-3,4,12,14-tetrahydro-3,14-dioxo-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinolin-4-yl ester (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C63 H81 N9 O17 S

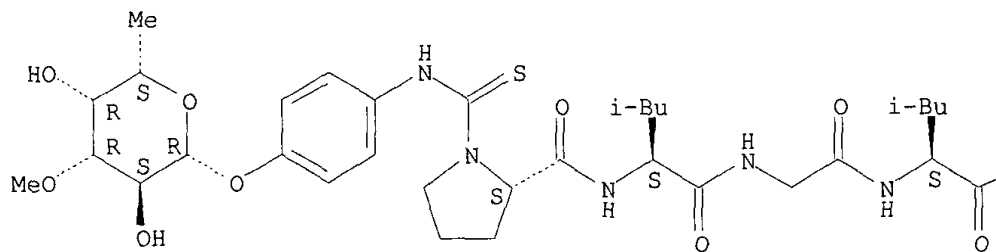
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LC STN Files: CA, CAPLUS, TOXCENTER

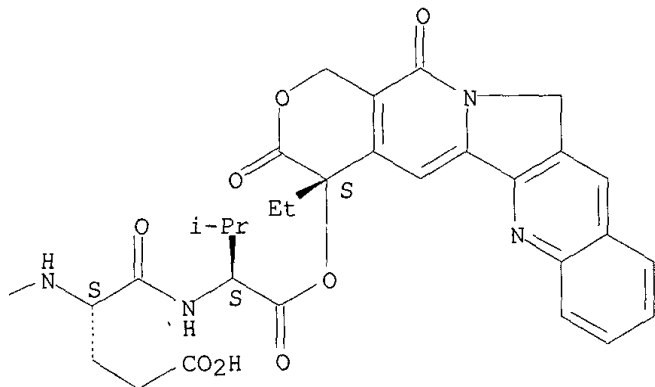
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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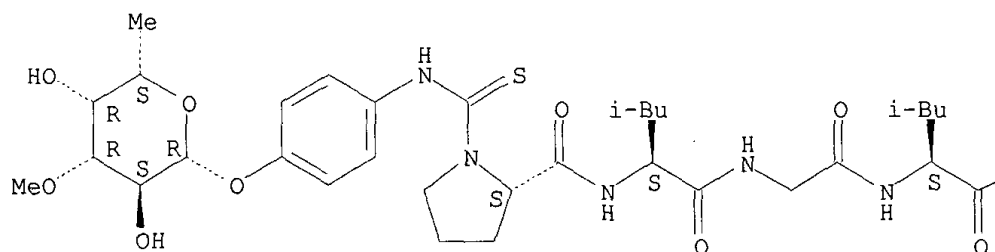
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L21 ANSWER 20 OF 218 REGISTRY COPYRIGHT 2002 ACS
RN **439911-71-4** REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C62 H79 N9 O17 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

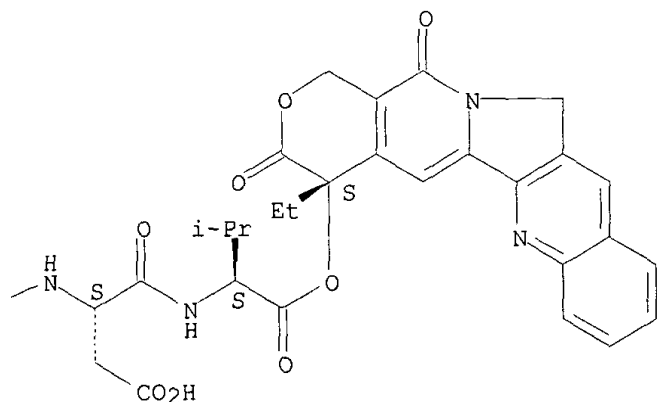
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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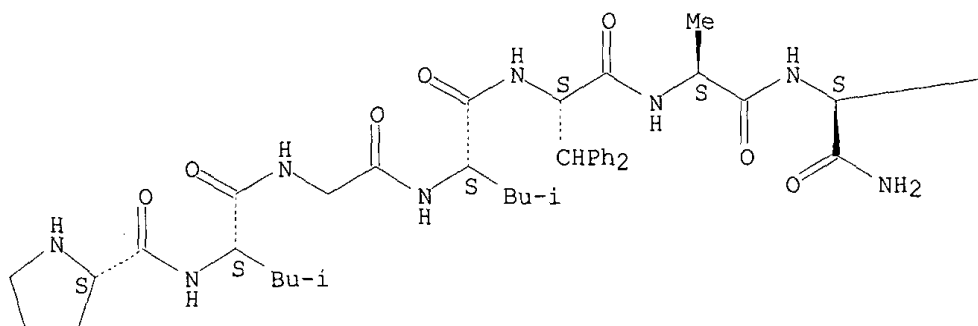
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L21 ANSWER 40 OF 218 REGISTRY COPYRIGHT 2002 ACS
 RN 389063-76-7 REGISTRY
 CN L-Argininamide, L-prolyl-L-leucylglycyl-L-leucyl-.beta.-phenyl-L-phenylalanyl-L-alanyl- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
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 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

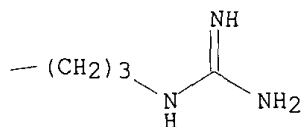
RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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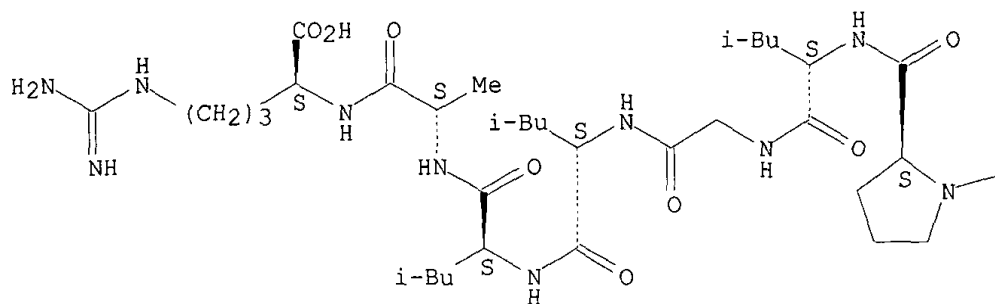
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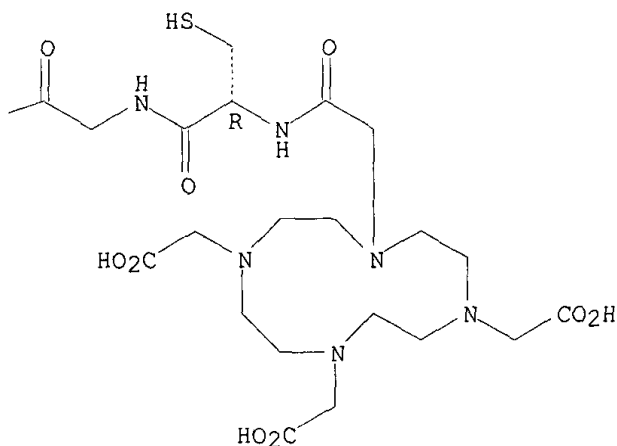
L21 ANSWER 50 OF 218 REGISTRY COPYRIGHT 2002 ACS
 RN 374804-69-0 REGISTRY
 CN L-Arginine, N-[[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]-L-cysteinylglycyl-L-prolyl-L-leucylglycyl-L-leucyl-L-leucyl-L-alanyl- (9CI) (CA INDEX NAME)
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C55 H96 N16 O17 S
 SR CA
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

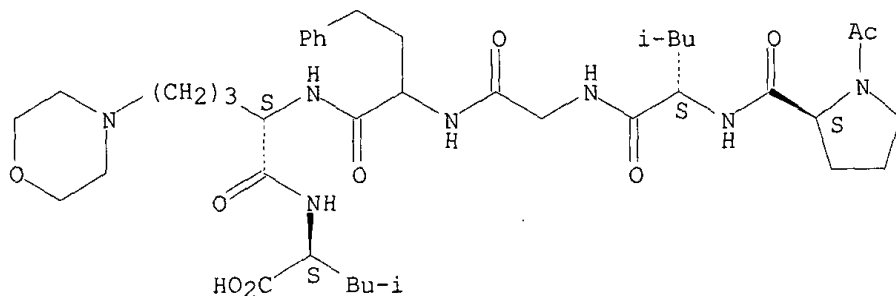
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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:11092

L21 ANSWER 60 OF 218 REGISTRY COPYRIGHT 2002 ACS
RN 360781-38-0 REGISTRY
CN L-Leucine, 1-acetyl-L-prolyl-L-leucylglycyl-.alpha.-aminobenzenebutanoyl-5-(4-morpholinyl)-L-norvalyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C40 H63 N7 O9
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 70 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360781-17-5 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[(1-acetyl-L-prolyl-L-leucylglycyl-.alpha.-aminobenzenebutanoyl-.alpha.-amino-4-pyridinebutanoyl-L-leucyl)amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

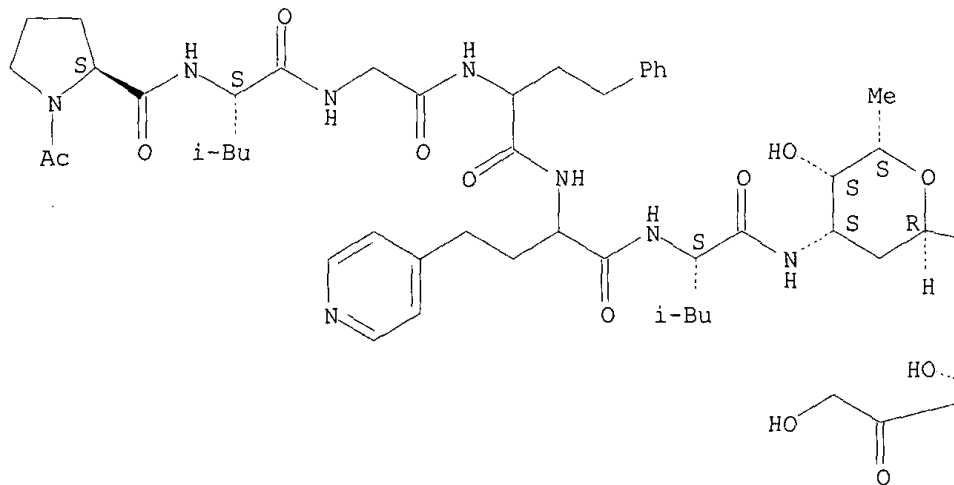
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SR CA

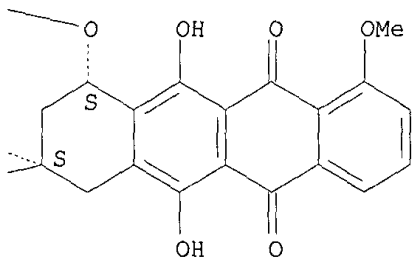
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 80 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360781-02-8 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[(1-acetyl-L-prolyl-L-leucylglycyl-L-leucyl-L-leucyl-L-seryl-L-leucyl)amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

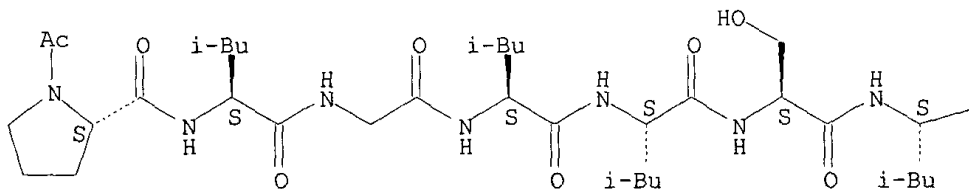
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SR CA

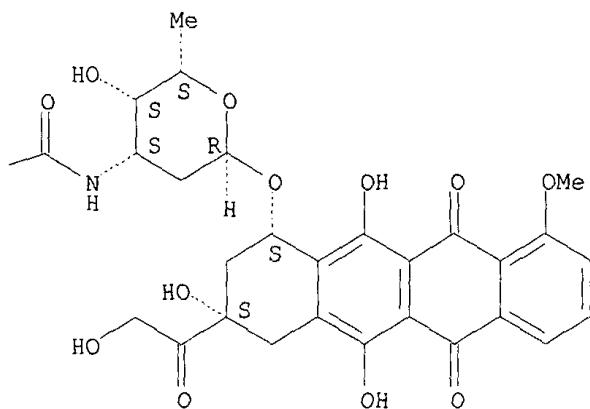
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 90 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360780-92-3 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[[3-[(1-acetyl-L-prolyl-L-leucylglycyl-.alpha.-aminobenzenebutanoyl-L-tyrosyl)amino]-5-methyl-1-oxohexyl]amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

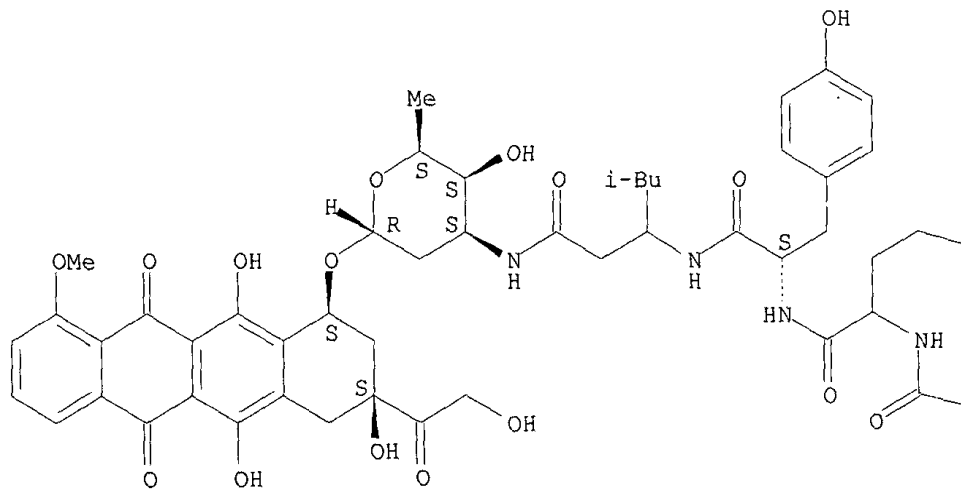
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SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

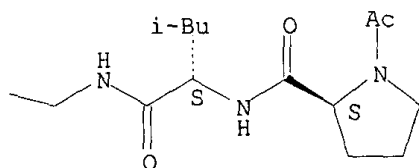
Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 100 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360780-82-1 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[(N-acetyl-L-.gamma.-glutamyl-L-prolyl-L-leucylglycyl-.alpha.-aminobenzenebutanoyl-L-.alpha.-glutamyl-L-leucyl)amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI)
(CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

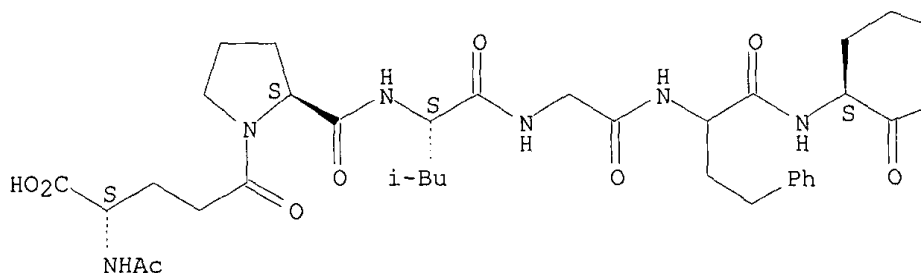
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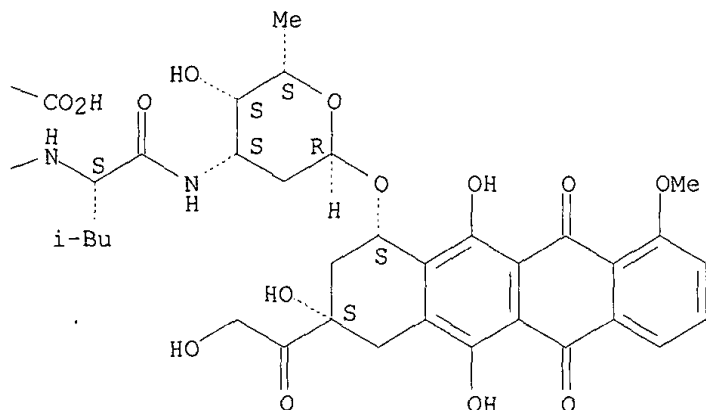
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LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

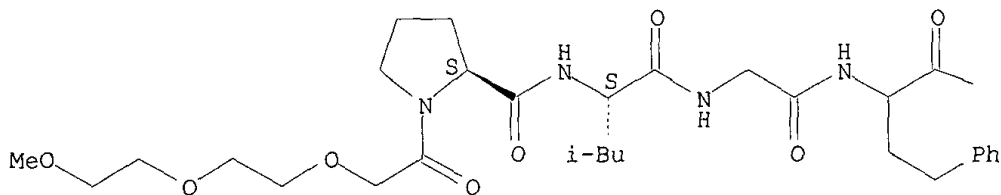
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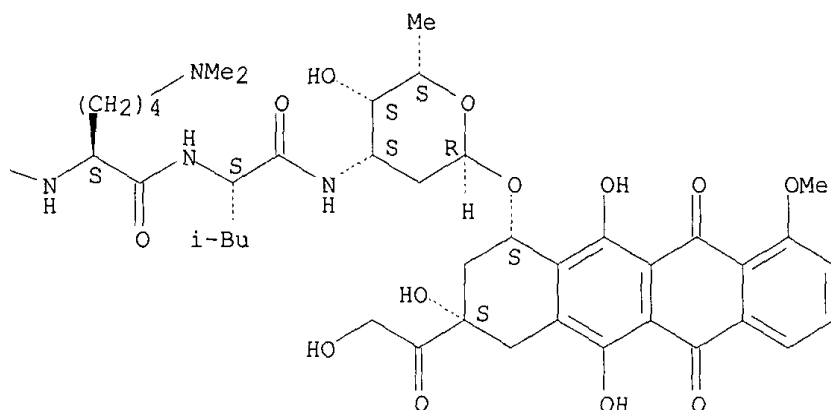
L21 ANSWER 110 OF 218 REGISTRY COPYRIGHT 2002 ACS
RN **360780-72-9** REGISTRY
CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[[1-[[2-(2-methoxyethoxy)ethoxy]acetyl]-L-prolyl-L-leucylglycyl-.alpha.-aminobenzenebutanoyl-N6,N6-dimethyl-L-lysyl-L-leucyl]amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C71 H100 N8 O21
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 120 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN **360780-62-7** REGISTRY

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[(L-.gamma.-glutamyl-L-prolyl-L-leucylglycyl-.alpha.-aminobenzenebutanoyl-L-ornithyl-L-leucyl)amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C66 H89 N9 O20

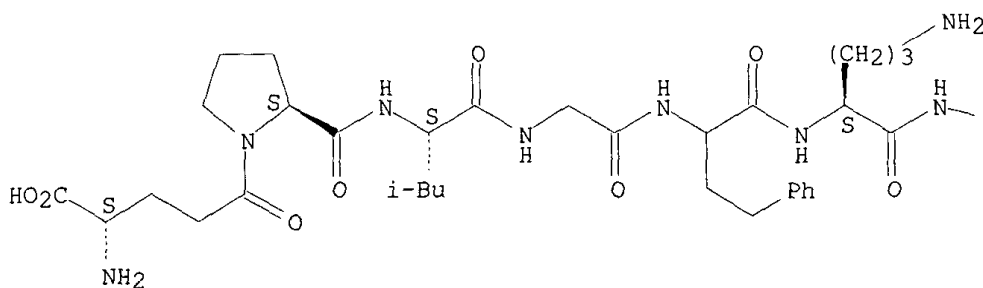
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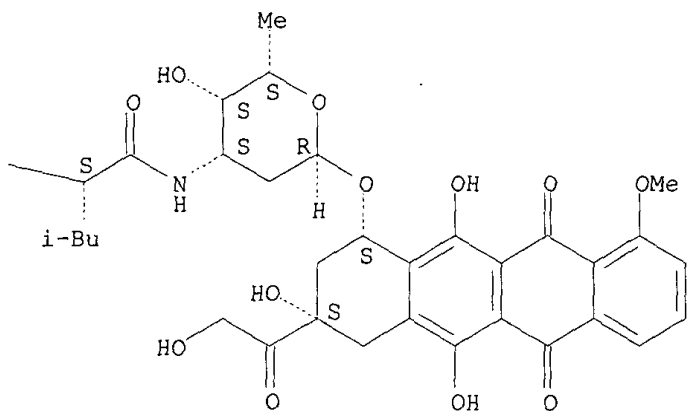
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
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REFERENCE 1: 135:273218

L21 ANSWER 140 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN **360780-19-4** REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[(N-acetylglycyl-L-prolyl-L-leucylglycyl-
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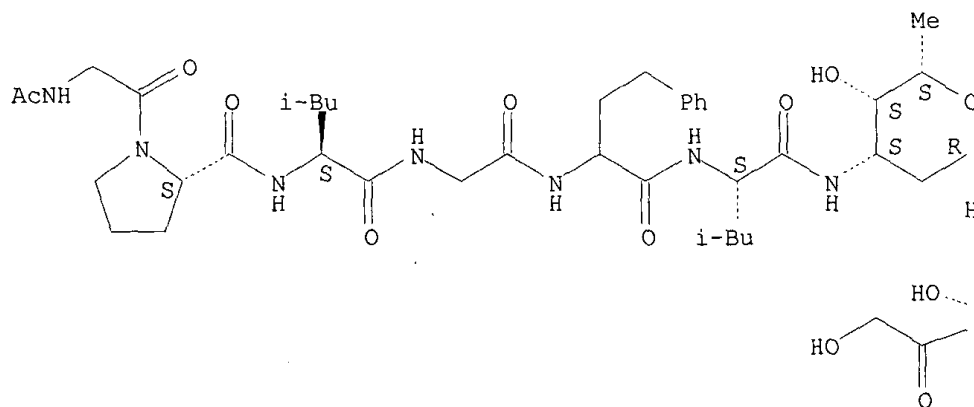
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MF C60 H77 N7 O18

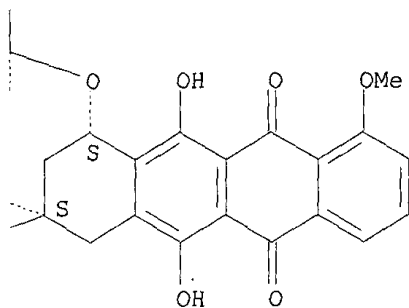
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LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 150 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360779-96-0 REGISTRY

CN 5,12-Naphthacenedione, 7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-10-[[2,3,6-trideoxy-3-[(N,N-dimethylglycyl-L-prolyl-L-leucylglycyl-L-leucyl-L-leucyl)amino]-.alpha.-L-lyxo-hexopyranosyl]oxy]-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C56 H79 N7 O17

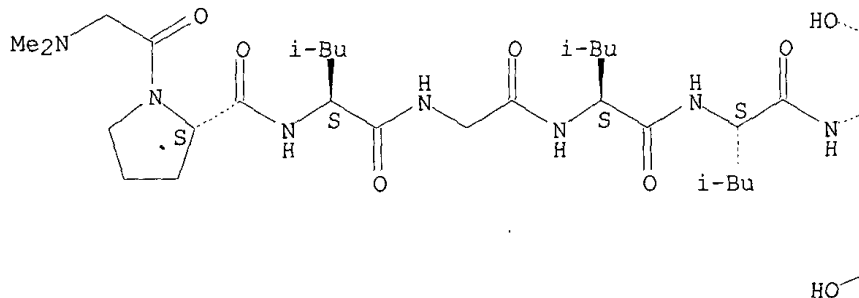
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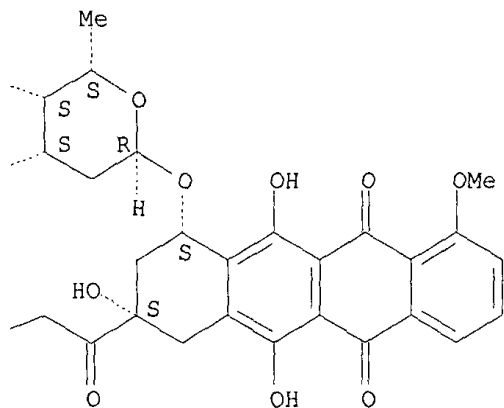
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

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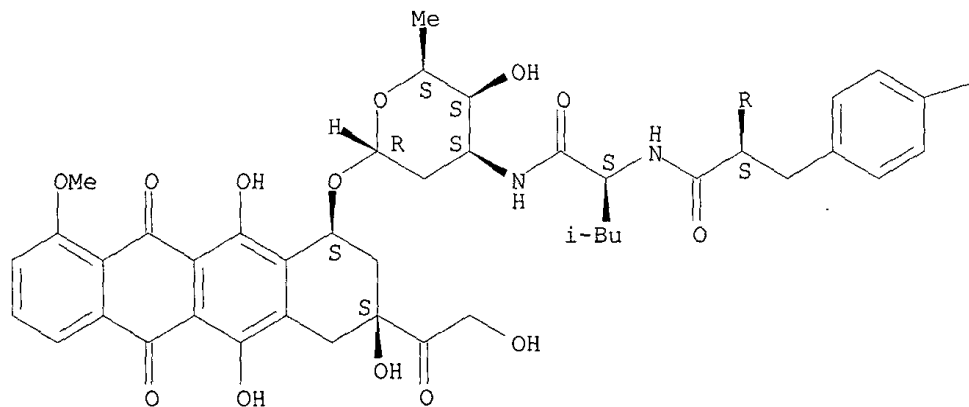
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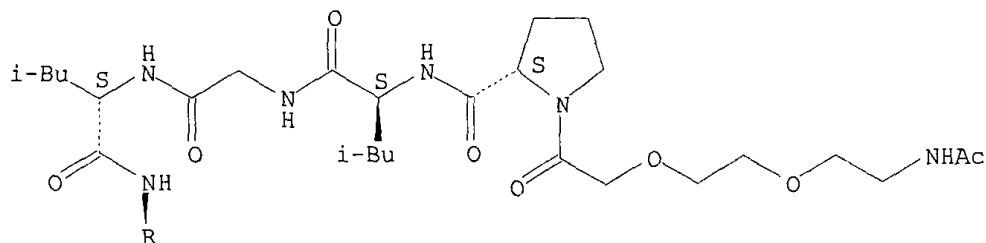
L21 ANSWER 160 OF 218 REGISTRY COPYRIGHT 2002 ACS
RN **360779-84-6** REGISTRY
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trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-
trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C69 H94 N8 O22
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 170 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360779-73-3 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[(N-acetyl-2-cyclohexylglycyl-L-leucylglycyl-L-leucyl-L-leucyl)amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

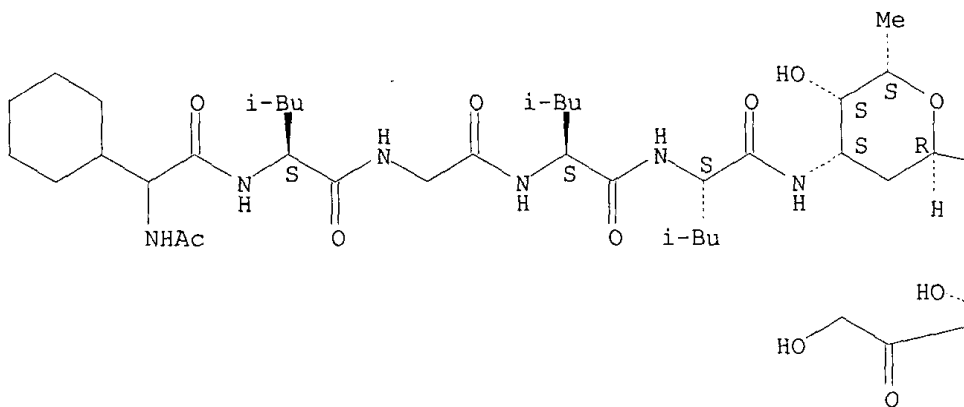
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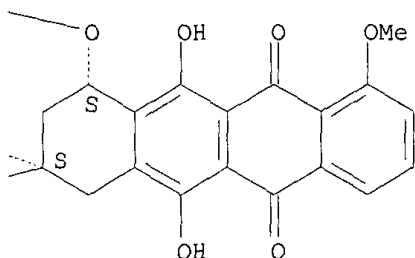
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.



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1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 180 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN 360779-63-1 REGISTRY

CN 5,12-Naphthacenedione, 10-[[3-[(1-acetyl-L-prolyl-L-leucyl-N-methylglycyl-L-leucyl-L-leucyl)amino]-2,3,6-trideoxy-.alpha.-L-lyxo-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-, (8S,10S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

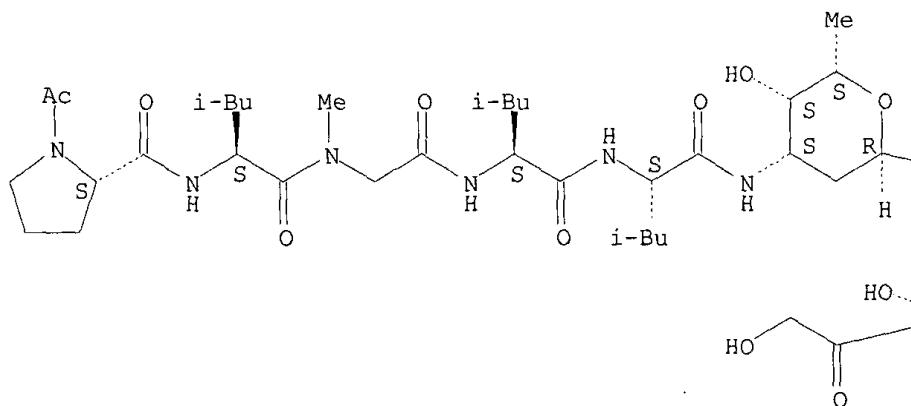
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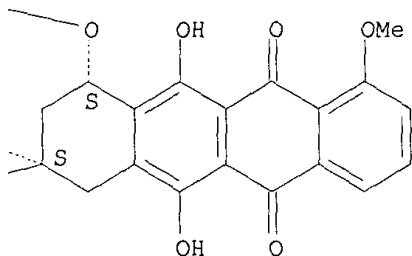
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LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

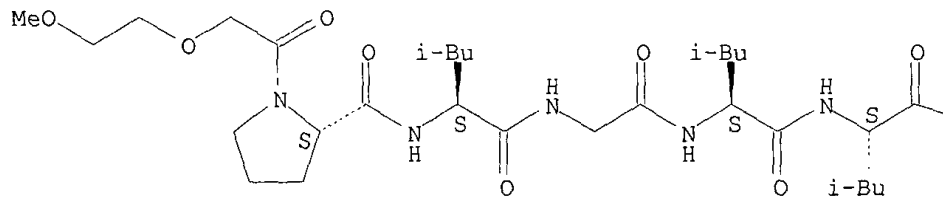
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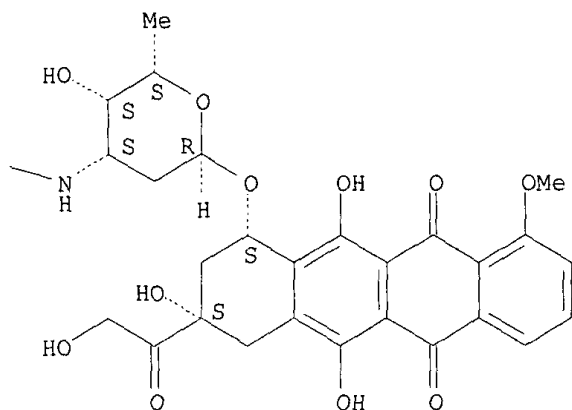
REFERENCE 1: 135:273218

L21 ANSWER 190 OF 218 REGISTRY COPYRIGHT 2002 ACS
RN **360779-47-1** REGISTRY
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FS PROTEIN SEQUENCE; STEREOSEARCH
MF C57 H80 N6 O19
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 135:273218

L21 ANSWER 200 OF 218 REGISTRY COPYRIGHT 2002 ACS

RN **335596-41-3** REGISTRY

CN L-Valine, L-phenylalanyl-L-alanylglycyl-L-valyl-L-valyl-L-isoleucylglycyl-L-leucyl-L-alanyl-L-alanyl-L-leucylglycyl-L-valyl-L-alanyl-L-threonyl-L-alanyl-L-alanyl-L-glutaminy-L-valyl-L-threonyl-L-alanyl-L-alanyl-L-valyl-L-alanyl-L-leucyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 2: PN: US6224903 SEQID: 2 claimed sequence

FS PROTEIN SEQUENCE; STEREOSEARCH

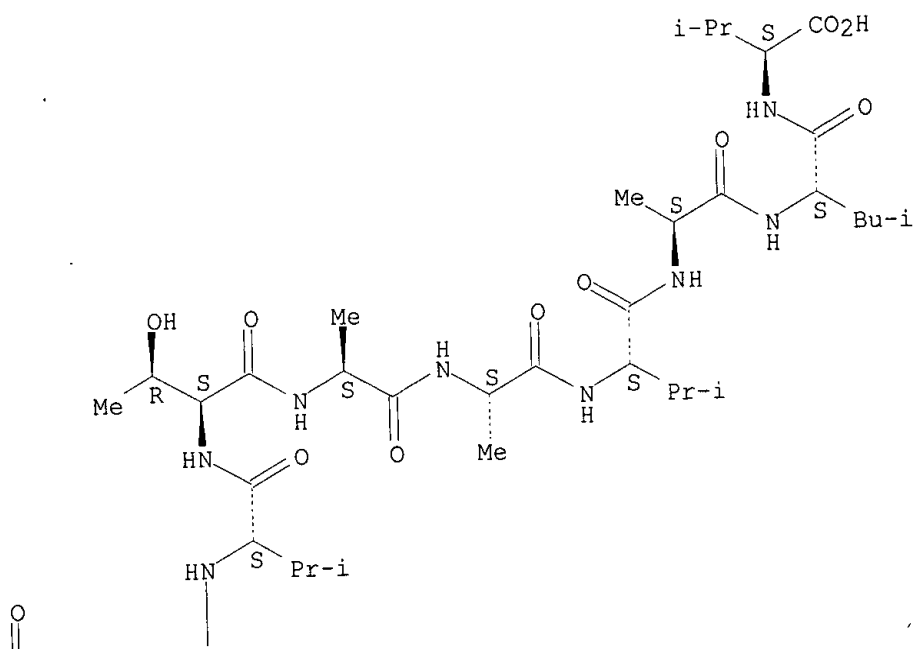
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SR CA

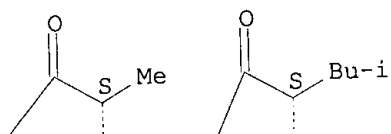
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

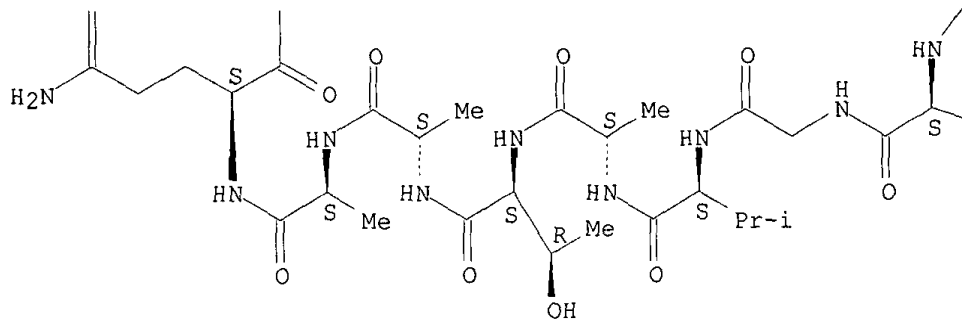
PAGE 1-A



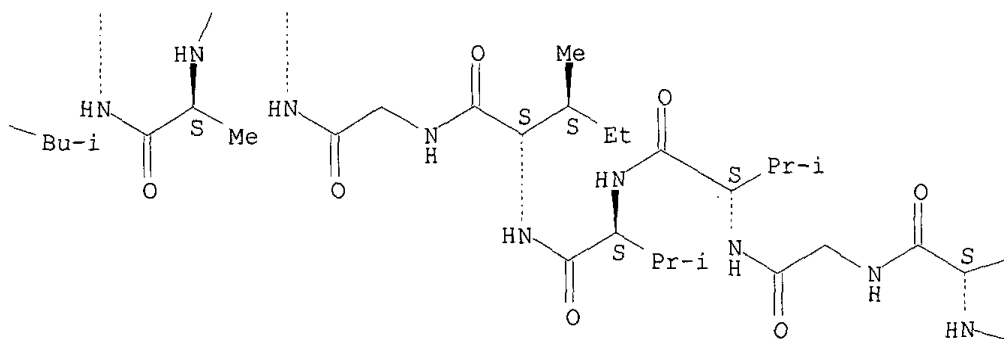
PAGE 1-B



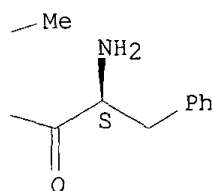
PAGE 2-A



PAGE 2-B



PAGE 2-C



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 134:331596

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RN 161007-71-2 REGISTRY

CN L-Cysteine, glycyl-L-leucyl-L-phenylalanyl-L-.alpha.-glutamyl-L-alanyl-L-isoleucyl-L-alanylglycyl-L-phenylalanyl-L-isoleucyl-L-.alpha.-glutamyl-L-

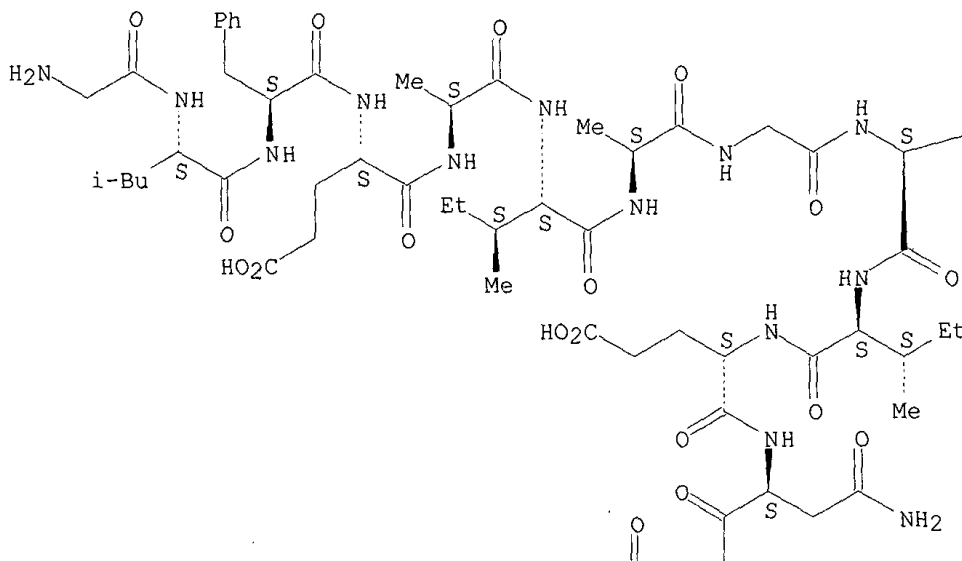
asparaginylglycyl-L-tryptophyl-L-.alpha.-glutamylglycyl-L-methionyl-L-isoleucyl-L-.alpha.-aspartylglycylglycylglycyl-L-tyrosyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

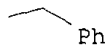
CN 1: PN: W00193836 SEQID: 9 unclaimed sequence
 CN 3: PN: W00134130 PAGE: 20 unclaimed sequence
 FS PROTEIN SEQUENCE; STEREOSEARCH
 MF C113 H160 N26 O35 S2
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

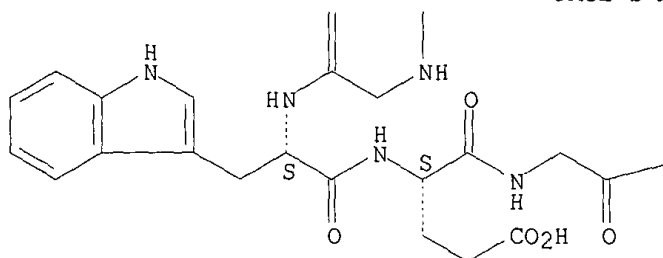
PAGE 1-A



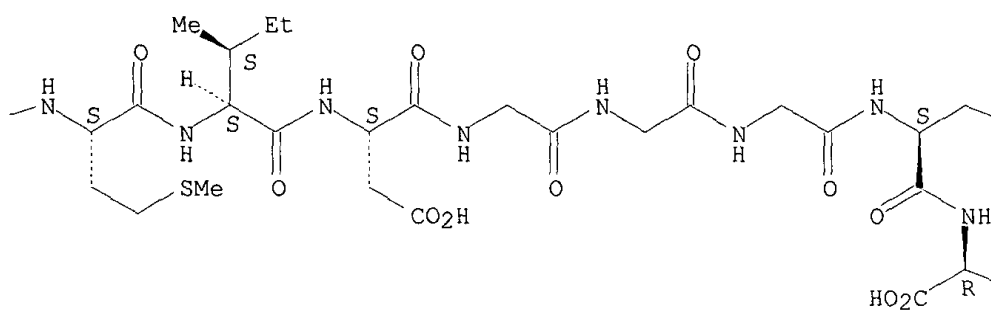
PAGE 1-B



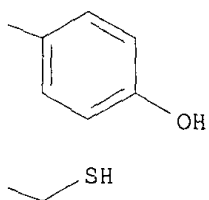
PAGE 2-A



PAGE 2-B



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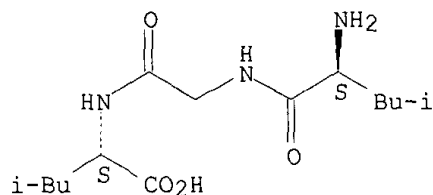
4 REFERENCES IN FILE CA (1962 TO DATE)
4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 136:58784
REFERENCE 2: 134:371773
REFERENCE 3: 124:219295
REFERENCE 4: 122:150895

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RN 19408-48-1 REGISTRY

CN L-Leucine, L-leucylglycyl- (9CI) (CA INDEX NAME)
 OTHER CA INDEX NAMES:
 CN L-Leucine, N-(N-L-leucylglycyl)-
 CN Leucine, N-(N-L-leucylglycyl)- (7CI)
 CN Leucine, N-(N-L-leucylglycyl)-, L- (8CI)
 OTHER NAMES:
 CN L-Leucylglycyl-L-leucine
 CN Leu-Gly-Leu
 FS STEREOSEARCH
 MF C14 H27 N3 O4
 LC STN Files: AGRICOLA, BEILSTEIN*, CA, CAOLD, CAPLUS, CHEMCATS, CHEMLIST,
 CSChem, TOXCENTER
 (*File contains numerically searchable property data)
 Other Sources: EINECS**
 (**Enter CHEMLIST File for up-to-date regulatory information)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

26 REFERENCES IN FILE CA (1962 TO DATE)
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
 26 REFERENCES IN FILE CAPLUS (1962 TO DATE)
 2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 137:79229
 REFERENCE 2: 137:63479
 REFERENCE 3: 134:193714
 REFERENCE 4: 120:239405
 REFERENCE 5: 119:47363
 REFERENCE 6: 113:6782
 REFERENCE 7: 112:217527
 REFERENCE 8: 112:179826
 REFERENCE 9: 109:136008
 REFERENCE 10: 108:184239